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STRUCTURE FILE UPDATES: 17 JUN 2007 HIGHEST RN 937704-61-5 DICTIONARY FILE UPDATES: 17 JUN 2007 HIGHEST RN 937704-61-5

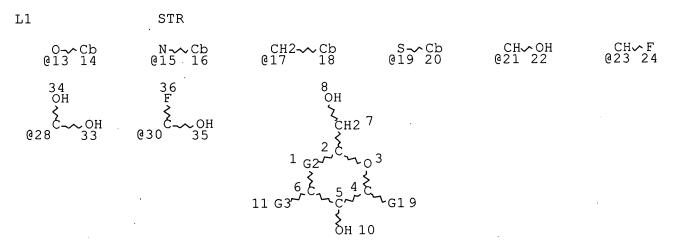
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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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http://www.cas.org/support/stngen/stndoc/properties.html



VAR G1=CB/13/15/17/19 VAR G2=CH2/CF2/21/23/28/30 VAR G3=OH/F NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

L2 (12148) SEA FILE=REGISTRY SSS FUL L1

L3 STR

A = G1 = O/N/C/S At least one (1) R1, R2 or R3 = F Cyc1/Cyc2 = Polycyclic (Node 8)

VAR G1=O/N/S/C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 5
GGCAT IS PCY AT 8
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE L4 STR

A = Bond At least one (1) R1, R2 or R3 = F Cyc1/Cyc2 = Polycyclic (Node 8)

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 5
GGCAT IS PCY AT 8
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE
L5 3 SEA FILE=REGISTRY SUB=L2 SSS FUL (L3 OR L4)

100.0% PROCESSED 401 ITERATIONS 3 ANSWERS SEARCH TIME: 00.00.01

FILE 'HCAPLUS' ENTERED AT 14:45:05 ON 18 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 18 Jun 2007 VOL 146 ISS 26 FILE LAST UPDATED: 17 Jun 2007 (20070617/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

L6 1 L5

L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:515521 HCAPLUS Full-text

DOCUMENT NUMBER: 141:38810

TITLE: Synthesis of aromatic fluoroglycoside derivatives

for use as antidiabetic agents

INVENTOR(S): Frick, Wendelin; Glombik, Heiner; Kramer, Werner;

Heuer, Hubert; Brummerhop, Harm; Plettenburg,

Oliver

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PAT	ENT 1	NO.			KINI	O 1	DATE		i i	APPL	ICAT:	ION 1	NO.		DA	ATE
WO	2004	0529	02		A1	- :	2004	0624	Ţ	WO 2	003-1	EP13	454		20	0031128
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								HU,								
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		MR,	NE,	SN,	TD,	TG										
DE	1025	A1			0902		DE 2	002-	1025	8007		2	0021212			
DE	1025	8007			В4		2006	0209								
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ΕP	1572	707			A1		2005	0914		EP 2	003-	7958	53		2	0031128

EP	1572	2707			В1	2	20060	329										
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JP	2000	65106	43		\mathbf{T}	2	20060	0330		JΡ	2004	4 – 5	5795	52		2	0031	128
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ES	2259	97 77			Т3	2	2006	1016		ES	2003	3-3	7958	853		2	0031	128
NZ	540	694			Α	2	20070	0223		ΝZ	2003	3-5	4069	94		2	0031	128
US	200	50147	04		A1	2	20050	0120		US	2003	3-7	351	79		2	0031	212
NO	200	50032	12		Α	2	2005	0804		NO	200	5-3	212			2	0050	630
PRIORITY	AP	PLN.	INFO	.:						DE	2002	2-1	.0258	8007	Ž	A 2	0021	212
										US	200	3-4	6632	29P	,	P 2	0030	429
										WO	200	3-E	P13	454	I	w 2	0031	128

OTHER SOURCE(S):

MARPAT 141:38810.

GΙ

The invention relates to substituted aromatic fluoroglycoside derivs., e.g., (I), to their physiol. tolerated salts, and methods for the preparation thereof. Title compds. can be used, for example, as antidiabetic agents. Thus 2,3,6-tri-O-acetyl-4-deoxy-4-fluoro- α - D-galactopyranosyl bromide was reacted with 3-benzofuran-5-yl-1-(2,6-dihydroxy-4-methylphenyl)propan-1-one and the product deacetylated to give I. In in vitro tests measuring the uptake of 14C-labeled glucose using rabbit brush-border membrane vesicles, I had IC50 0.4 μ M, compared with 16 μ M for Phlorizin control.

IT 701936-24-5P 701936-47-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aromatic fluoroglycoside derivs. for use as antidiabetic

agents)

RN 701936-24-5 HCAPLUS

CN 1-Propanone, 3-(5-benzofuranyl)-1-[2-[(4-deoxy-4-fluoro-β-D-galactopyranosyl)oxy]-6-hydroxy-4-methylphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701936-47-2 HCAPLUS

CN 1-Propanone, 3-(5-benzofuranyl)-1-[2-[(4-deoxy-4-fluoro- β -D-glucopyranosyl)oxy]-6-hydroxy-4-methylphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 701936-48-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aromatic fluoroglycoside derivs. for use as antidiabetic

agents)

RN 701936-48-3 HCAPLUS

CN 1-Propanone, 1-[2-[(4-deoxy-4-fluoro- β -D-galactopyranosyl)oxy]-6-hydroxy-4-methylphenyl]-3-(2,3-dihydro-5-benzofuranyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L7 0 L5

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L8 0 L5

FILE 'MARPAT' ENTERED AT 14:45:33 ON 18 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

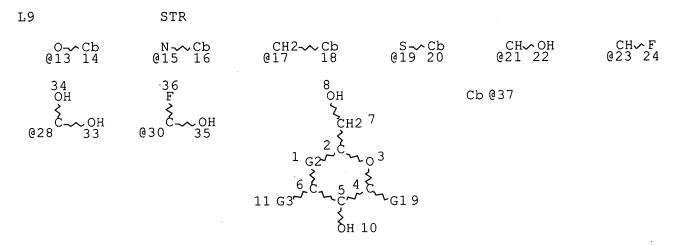
FILE CONTENT: 1961-PRESENT VOL 146 ISS 25 (20070615/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

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2007100186 03 MAY 2007
US
DE 102005052275 03 MAY 2007
        1784057 09 MAY 2007
     2007115699 10 MAY 2007
JΡ
     2007051410 10 MAY 2007
WO
        2431654 02 MAY 2007
GB
FR
     2892418 27 APR 2007
RU
       2298555 10 MAY 2007
        2522632 06 APR 2007
CA
```

Expanded G-group definition display now available.



VAR G1=13/15/17/19/37
VAR G2=CH2/CF2/21/23/28/30
VAR G3=OH/F
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 14 16 18 20 37
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE

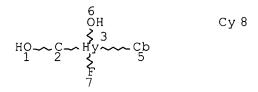
ATTRIBUTES SPECIFIED AT SEARCH-TIME: ECLEVEL IS LIM ON ALL NODES ALL RING(S) ARE ISOLATED

L10 (788) SEA FILE=MARPAT SSS FUL L9 (MODIFIED ATTRIBUTES)
L11 STR

VAR G1=O/N/S/C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 3 5 8
GGCAT IS MCY UNS AT 5
GGCAT IS PCY AT 8
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE L12 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 3 5 8
GGCAT IS MCY UNS AT 5
GGCAT IS PCY AT 8
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME: ECLEVEL IS LIM ON ALL NODES ALL RING(S) ARE ISOLATED

L13 (37) SEA FILE=MARPAT SUB=L10 SSS FUL L11 (MODIFIED ATTRIBUTES)

ATTRIBUTES SPECIFIED AT SEARCH-TIME: ECLEVEL IS LIM ON ALL NODES ALL RING(S) ARE ISOLATED

L14 (34) SEA FILE=MARPAT SUB=L10 SSS FUL L12 (MODIFIED ATTRIBUTES)

L15 46 SEA FILE=MARPAT ABB=ON PLU=ON L13 OR L14

FILE 'HCAPLUS' ENTERED AT 14:46:09 ON 18 JUN 2007

L16 46 S L15

L17 45 S L16 NOT L6

FILE 'MARPAT' ENTERED AT 14:46:27 ON 18 JUN 2007

L18 45 S L17

L18 ANSWER 1 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

146:317154 MARPAT Full-text

TITLE:

Preparation of hetero-bifunctional

pseudo-oligosaccharides as pan-selectin inhibitors

and antiinflammatory agents

INVENTOR(S): Magnani, John L.; Patton, John T., Jr.; Sarkar,

Arun K.; Svarovsky, Sergei A.; Ernst, Beat

PATENT ASSIGNEE(S):

Glycomimetics, Inc., USA

SOURCE:

PCT Int. Appl., 94pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PAT	ENT 1	. O <i>l</i>		KI	ND I	DATE			Al	PPLI	CATI	ON NO	ο.	DATE		
					•											
WO :	20070	0280	50	A.	1 2	20070	308		W	200	06-US	5342	74	20060	901	
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US	2007	0548	70	A	1 .	2007	308		U	S 20	06-5	1534	3	2006	0901	
PRIORITY	APP:	LN.	INFO	. :					U	S 20	05-7	1399	4 P	2005	0902	
GI																

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Hetero-bifunctional pseudo-oligosaccharidesI, wherein R1 is aminocarbonyl, substituted tetrazole; R2 is C(O)OX; X is alkyl, alkenyl, alkynyl, amid; R3 is OH, substituted triazole and tetrazole, heterocycle, aminocarbonyl, R4 is substituted uronic acid; R5 is H, or R4 and R5 are taken together to form heterocycle; R6 is H, fucose, mannose, arabinose, galactose, polyols; were prepared for modulating

in vitro and in vivo processes mediated by selectin binding. More specifically, selectin modulators and their use are described, wherein the selectin modulators that modulate (e.g., inhibit or enhance) a selectin-mediated function comprise particular glycomimetics alone or linked to a member of a class of compds. termed BASAs (benzyl amino sulfonic acids) or a member of a class of compds. termed BACAs (benzyl amino carboxylic acids). Thus, title oligosaccharide II was prepared and tested in in mice as pan-selectin inhibitors and antiinflammatory agent. A method of inhibiting rejection of transplanted tissue is claimed.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

146:206141 MARPAT Full-text

TITLE:

Preparation of azetidinone compounds as

hypocholesterolemic agents

INVENTOR(S):

Pfefferkorn, Jeffrey Allen; Trivedi, Bharat

Kalidas

PATENT ASSIGNEE(S):

Warner-Lambert Company LLC, USA

SOURCE:

PCT Int. Appl., 60pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

Englisi

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATI	ENT I	.OI		KII	1D :	DATE			A)	PPLI	CATI	ои ис	ο.	DATE		
WO 2	2007	0151	61	A.	1 :	2007(0208		M	O 20	06-II	B213	0	20060)720	
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PRIORITY	APP	LN.	INFO	.:					U	S 20	05-7	0448	7 P	2005	0801	

GΙ

AB Title compds. I [A-B = C:O, C:S, SO, or SO2; X = C1-C3 alkylene optionally containing a double or triple bond, or C1-C3 heteroalkylene (wherein C1-C3 alkylene or C1-C3 heteroalkylene is unsubstituted or substituted on carbon atoms with 0,1 or 2 substituents selected from C1-C6 alkyl, :0, aryl, etc.); Z = C1-C2 alkylene optionally substituted with 0, 1 or 2 substituents selected from C1-C6 alkyl, :0, halo, etc.; R1 = aryl or heteroaryl optionally substituted with one to three substituents selected from halo, C1-C20 alkyl, C1-C6 aralkyl, etc.; R2 = C1-C6 alkyl, C3-C6 cycloalkyl, C3-C6 heterocycloalkyl, etc.; R3 = C3-C6 cycloalkyl, C3-C6 heterocycloalkyl, aryl, etc.], pharmaceutically acceptable salts, esters, hydrates, amides, or stereoisomers thereof were prepared For example, reaction of panisaldehyde with 3-phenylpropylamine followed by [2+2] cyclo-addition with 4-methoxyphenylacetyl chloride and separation using preparative chiral HPLC afforded compound II. Compds. of the invention reduced the elevation in plasma cholesterol by 50% at doses of between about 30 and about 100 mg/kg. Of note, compds. I are useful for the treatment of atherosclerosis.

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 145:465688 MARPAT Full-text

TITLE: Preparation of 1,4-diphenyl-3-hydroxyalkyl-2-

azetidinone derivatives for treating

hypercholesterolemia

INVENTOR(S): Martinez, Eduardo; Talley, John J.; Zimmer, Daniel

Ρ.

PATENT ASSIGNEE(S): Microbia, Inc., USA

SOURCE: PCT Int. Appl., 259pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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20061116
                                           WO 2006-US18076
                                                             20060510
    WO 2006122186
                       A2
    WO 2006122186
                       А3
                            20070301
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             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
             GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,
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             MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT,
             RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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             IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
             ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                            US 2005-679326P 20050510
GΙ
```

1,4-Diphenyl-3-hydroxyalkyl-2-azetidinones I, wherein R1 and R2 independently represent 1-5 residues chosen independently from H, halogen, OH, O-alkyl, alkyl, OCF2H, OCF3, CF2H, CH2F, methylenedioxy, ethylenedioxy, CN, CF3, NO2, SH, S-alkyl, amino, alkylamino, aminosulfonyl, alkylamiosulfonyl, alkylsulfonyl, acyl, carboxy, alkoxycarbonyl, carboxyalkyl, carboxamido, alkylsulfoxide, acylamino, amidino, Ph, Bn, PhO, BnO, phosphate, SO3H, B(OH)2, glucuronide, carbamate, substituted aryl; R3 is substituted hydroxyalkyl, saturated substituted hydrocarbon; R4 and R5 are independently H, alkyl; were prepared (no data) and useful for the treatment of hypercholesterolemia and related disorders. A method of prevention or treatment of a cholesterol-associated tumor selected from the group consisting of benign prostatic hypertrophy, benign breast tumor,

benign endometrial tumor, and benign colon tumor, was claimed. A method for reducing the blood plasma or serum concns. of LDL cholesterol in a mammal, was claimed.

L18 ANSWER 4 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 145:369884 MARPAT Full-text

TITLE: Diphenylheterocycles as cholesterol absorption

inhibitors

INVENTOR(S): Talley, John; Martinez, Eduardo; Zimmer, Daniel;

Lundrigan-Soucy, Regina

PATENT ASSIGNEE(S): Microbia, Inc., USA

SOURCE: PCT Int. Appl., 361pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	TENT I	NO.		KII	ND.	DATE						N NC		DATE		
	2006				-	 2006 2006						5111		2006	324	
WO	2006				-			70.172	ת כו	ממ	D.C	ממ	DW	DΥ	D7	$C\Lambda$
	W:					AT,										
						CU,										
		GB,	GD,	GE,	GH,	GΜ,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,
	KN, KI				ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,
	MK, M				MX,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,
	RO, R TZ, U															
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,
		ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	ΤŻ,	UG,	ZM,
		ZW,	AM,	ΑŻ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	MT					
PRIORIT	Y APP	LN.	INFO	. :		•			U	S 20	05-6	6486	3P	2005	0324	

Title compds. e.g. [I; A, B = aryl, heteroaryl; Q = SO2, C:S; U = C2-6 alkylene in which \geq 1 CH2 may be replaced by S, SO, SO2, O, CO, CH(OH), NH, CHF, CF2, etc.; R1-R6 = H, F, Cl, Br, iodo, OH, CF3, NO2, N3,

cyano, CO2H, PO3H2, SO3H, CONH2, alkoxycarbonyl, (substituted) alkyl, alkenyl, alkynyl, etc.], were claimed for treatment of hypercholesterolemia, vascular inflammation, Alzheimer's disease, etc. (no data).

L18 ANSWER 5 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

145:45943 MARPAT Full-text

TITLE:

Preparation of phenyl- β -D-glucopyranosides as

antidiabetic agents

INVENTOR(S):

Mederski, Werner; Van Amsterdam, Christoph;

Burger, Christa; Greiner, Hartmut

PATENT ASSIGNEE(S):

Merck Patent G.m.b.H., Germany

SOURCE:

PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT	NO.		KII	I DN	DATE			Al	PPLI	CATI	ON NO	o. 1	DATE		
WO 2006	 05859	97	 A.	1 :	20060	0608		W(20	- : 05-Е:	 P118	: 75 :	2005:	1107	
₩:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,
					CU,										
					GM,										
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					ΜZ,	-									
	•	•	•		SE,	•	•								
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RW:	AT,											FR,	GB,	GR,	HU,
					LU,										
			•		CI,										
	•	•	•	•	KE,										
					KG,										
DE 1020	-	-	-								0200	4058	4492	0041	203
PRIORITY APP													4492		
GI															

Title compds. I [T = heterocycle with 1-3 N or O atoms with provisos; E = (CH2)n; R, R' = OH, H, F, etc.; R'' = OH, F; R1 = H, COOA; R2, R2' = H, halo, A, etc.; A = alkyl with provisos; n = 1-2] and their pharmaceutically acceptable salts and formulations were prepared For example, hydrolysisiof tetraacetate II (X = COCH3) afforded phenylglucopyranoside II (X = H) in 72% yield. Compds. I are claimed to be useful as antidiabetic agents.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

2

ACCESSION NUMBER:

145:8460 MARPAT Full-text

TITLE:

Preparation of tyrosine glucosides

INVENTOR(S):

Kadota, Hidetoshi

PATENT ASSIGNEE(S):

Mitsui Chemicals Inc., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 25 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

1

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006131587	A	20060525	JP 2004-325013	20041109
PRIORITY APPLN. INFO.	:		JP 2004-325013	20041109
GT				

The glucosides I [R = H; R1 = H, (un)substituted C1-30 alkyl,AB (un) substituted aralkyl, (un) substituted Ph, (un) substituted heterocyclyl; R2 = (un)substituted C1-10 alkyl, (un)substituted aralkyl, (un) substituted phenyl], useful as materials for drugs, agrochems., cosmetics, etc., are prepared by (1) reacting 4-HOC6H4CH2CH(NH2)CO2R2 (R2 = same as above) with R1COX (R1 = same as above; X = halo, OCOR1) in the presence of bases, (2) reacting the resulting 4-HOC6H4CH2CH(NHCOR1)CO2R2 (R1, R2 = same as above) with pentaacetylglucose in the presence of acids, and (3) deacetylating the resulting I (R = Ac; R1, R2 = same as above). Thus, $O-\beta-D$ glucopyranosyl-L-tyrosine(II) is prepared by hydrolyzing I (R = H; R1 = OCH2Ph: R2 = any group given above) and hydrogenolyzing the resulting N-carbobenzoxy-O- β -D-glucopyranosyl-L-tyrosine. (preparation given) inhibited growth of Pellicularia sasakii, Botrytis cinerea, Alternaria mali, and Fusarium oxysporum cucumerinum.

COPYRIGHT 2007 ACS on STN L18 ANSWER 7 OF 45 MARPAT

ACCESSION NUMBER:

144:350925 MARPAT Full-text

TITLE:

Preparation of monosaccharide sulfenamides and

sulfenamide oxides as antibacterial agents

INVENTOR(S):

Von Itzstein, Laurence Mark; Coppel, Ross Leon;

Davis, Christopher Bonner; Thomson, Robyn Joy;

Hartnell, Regan David; Owen, David James

PATENT ASSIGNEE(S):

Griffith University, Australia; Monash University

PCT Int. Appl., 69 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND DATE		APPLICATION	ON NO.	DATE	
WO 2006037185	A1 2006	50413	WO 2005-A	U1548	20051007	
W: AE, AG	, AL, AM, AT,	AU, AZ, B	A, BB, BG,	BR, BW,	BY, BZ,	CA,
CH, CN	, co, cr, cu,	CZ, DE, Di	K, DM, DZ,	EC, EE,	EG, ES,	FI,
GB, GD	GE, GH, GM,	HR, HU, I	O, IL, IN,	IS, JP,	KE, KG,	KM,
KP, KR	, KZ, LC, LK,	LR, LS, L'	r, LU, LV,	LY, MA,	MD, MG,	MK,
MN, MW	, MX, MZ, NA,	NG, NI, N	O, NZ, OM,	PG, PH,	PL, PT,	RO,
RU, SC	, SD, SE, SG,	SK, SL, SI	M, SY, TJ,	TM, TN,	TR, TT,	TZ,
UA, UG	, US, UZ, VC,	VN, YU, Z	A, ZM, ZW			
	, BG, CH, CY,			FI, FR,	GB, GR,	HU,
	, IT, LT, LU,					

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

AU 2004-905781 20041007

GΙ

$$X^{4}$$
 X^{3}
 X^{2}
 X^{2}
 X^{2}
 X^{2}
 X^{2}
 X^{2}
 X^{2}
 X^{3}

Monosaccharide sulfenamides and sulfenamide oxides I, wherein R1 and AB R2 are independently H, alkyl; R1 and R2 are together with the N atom from which they are attached form heterocycle; A is O, S, SO, SO2, Se, Te, N(O), C(O), substituted N, substituted carbon; X1-X4 are independently H, halogen, substituted O, substituted N, substituted SN3, CN, OCN, SCN, sulfate, sulfite, phosphate, sulfonyl, sulfoxide; X5 is H, CN, alkyl, alkaryl, aryl, aralkyl, acyl, sulfonyl, sulfite, sulfate, phosphate; X'-X4' are independently H, CN, alkyl, alkaryl, aryl, aralkyl, acyl; p is 0-2; were prepared as antibacterial agents. Thus, N, N-dioctyl-S-(2, 3, 5, 6-tetra-O-acetyl- β -Dgalactopyranosyl) sulfenamide was prepared and tested in vitro as

antibacterial agent (MIC = $2-16 \mu g/mL$).

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

144:350922 MARPAT Full-text

TITLE:

Preparation of glucopyranosyl-substituted phenyl

derivatives antidiabetic agents and SGLT2

inhibitors

INVENTOR(S):

Eckhardt, Matthias; Himmelsbach, Frank;

Eickelmann, Peter; Thomas, Leo; Barsoumian, Edward

Leon

PATENT ASSIGNEE(S):

Boehringer Ingelheim International G.m.b.H.,

Germany

SOURCE:

U.S. Pat. Appl. Publ., 29 pp.

CODEN: USXXCO

DOCUMĖNT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006074031	A1	20060406	US 2005-239917	20050930
PRIORITY APPLN. INFO.	:		US 2005-239917	20050930
GI			•	

$$R^{1}$$
 R^{3}
 R^{4}
 R^{70}
 R^{70}
 R^{8}
 R^{2}
 R^{2}
 R^{3}
 R^{4}
 R^{70}
 R^{70}

Glucopyranosyl-substituted benzene derivs. I, wherein R1 is alkynyl, AB alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, alkylcarbonyl, alkylaminocarbonyl; R2 is H, F, Cl, Br, OH, alkyl, alkoxy, CN, NO2; R3 is alkyl-silyl-alkyl, alkynyl, alkenyl, amino, alkylamino, heterocycle; R4 and R5 are independently H, F, Cl, Br, iodine, CN, NO2, alkyl, alkoxy, Me, OMe; R6-R9 are independently H, alkylcarbonyl, alkyoxycarbonyl, arylcarbonyl, aryl-alkyl-carbonyl; Z is oxygen, methylene, dimethylmethylene, 1,1-cyclopropylene, difluoromethylene or carbonyl were prepared as antidiabetic agents and SGLT2 inhibitors. The compds. according to the invention are suitable for the treatment of metabolic disorders, wherein the metabolic disorder is selected from the group consisting of type 1 and type 2 diabetes mellitus, complications of diabetes, metabolic acidosis or ketosis, reactive hypoglycemia, hyper-insulinemia, glucose metabolic disorder, insulin resistance, metabolic syndrome, dyslipidemia of different origins, atherosclerosis and related diseases, obesity, high blood pressure, chronic heart failure, edema and hyperuricemia. Compds. which have an inhibitory effect on the sodium-dependent glucose co-transporter SGLT2 are proposed for the treatment of diseases, particularly diabetes. Thus II was prepared and tested as antidiabetic agent and SGLT2 inhibitor.

L18 ANSWER 9 OF 45 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 144:350921 MARPAT Full-text

TITLE: Synthesis of substituted Ph C-glycosides for use

as SGLT inhibitors for treatment of metabolic

disorders

INVENTOR(S): Eckhardt, Matthias; Himmelsbach, Frank;

Eickelmann, Peter; Thomas, Leo; Barsoumian, Edward

Leon

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.,

Germany

SOURCE:

Ger. Offen., 38 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.	KIND	DATE		AP	PLICA'	rion N	O. 1	DATE		
CA 2574 WO 2006 WO 2006	037537	A1 A2 A8	200604 200604 200604 200606 200703	113 113 508	CA	2005	-10200 -25745 -EP104	00	38820 20050 20050	928	001
W: W:	AE, AG, CH, CN, GB, GD, KP, KR, MN, MW, RU, SC, UA, UG, AT, BE, IE, IS, BF, BJ, TG, BW,	AL, AM CO, CE GE, GH KZ, LC MX, MZ SD, SH US, UZ BG, CH IT, LC GH, GM	1, AT, A R, CU, C H, GM, H C, LK, I Z, NA, N E, SG, S Z, VC, V	AU, AZ, CZ, DE, HR, HU, LR, LS, NG, NI, SK, SL, VN, YU, CZ, DE, LV, MC, CM, GA, LS, MW,	DK, ID, LT, NO, SM, ZA, DK, NL, GN, MZ,	DM, D IL, II LU, L NZ, OI SY, T ZM, Z' EE, E PL, P GQ, G NA, S	Z, EC, N, IS, V, LY, M, PG, J, TM, W S, FI, T, RO, W, ML, D, SL,	EE, JP, MA, PH, TN, FR, SE, MR,	EG, KE, MD, PL, TR, GB, SI, NE,	ES, KG, MG, PT, TT, GR, SK,	FI, KM, MK, RO, TZ, HU, TR,
PRIORITY APP		•	•	, ,	DE	2004	-10200 -EP104				001

GI

The invention relates to substituted Ph D-gluco-, -galacto-, or 4-deoxy-xylo-pyranoside C glycosides (e.g., (I)), which have an inhibitory effect upon the sodium-dependent glucose co-transporter (SGLT), and medicaments containing them for treatment of metabolic diseases (no data). Thus, 5-bromo-2-chloro-benzoic acid was reacted with anisol to give (5-bromo-2-chlorophenyl)-(4-methoxyphenyl)methanone, which was hydrogenated and reacted with 2,3,4,6-tetrakis-O-(trimethylsilyl)- δ -glucopyranone, and the resulting 1-methoxy-1-(substituted phenyl) protected glycoside de-methoxylated

Ι

and deprotected to give I. Formulations for administration as tablets, hard gelatine capsules, suppositories, or ampules are given.

L18 ANSWER 10 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

144:171191 MARPAT Full-text

TITLE:

Preparation of 1-(1-naphthyl)-1,5-anhydroglucitol derivatives, prodrugs thereof and salts thereof,

and therapeutic agents containing them for

diabetes

INVENTOR(S):

Matsuoka, Hiroharu; Sato, Tsutomu; Nishimoto, Masahiro; Kato, Yasuharu; Sakaitani, Masahiro;

Lee, Sang-Hak

PATENT ASSIGNEE(S):

Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE:

PCT Int. Appl., 67 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT 1	. O <i>l</i>		KII	I DN	DATE			A)	P.PLI(CATI	N NC	ο.	DATE		
 WO	2006	0115	02	 A:	1 :	2006	0202		W(20	05-J	P137	16	2005	0727	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,
		GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,
		KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MZ,	NA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,
		-					YU,									
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,
		IE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,
														NΕ,		
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,
		ZW,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,								
AU	2005	2657	15	A	1	2006	0202				05-2			2005		
CA	2574	608		Α	1	2006	0202				05-2			2005	• . — .	
PRIORIT	Y APP	LN.	INFO	.:					-		04-2		-	2004		
											04-3			2004		
									M	0 20	05-J	P137	16	2005	0727	

GΙ

The title compds. (I) [wherein m = an integer of 1-3; R1-R4 = H, CORx, AB each (un) substituted C1-6 alkyl or C7-14 aralkyl; Rx = each(un) substituted C1-6 alkyl, aryl, heteroaryl, C1-6 alkoxy, or NH2; Ar1 = (un) substituted naphthyl; A = (un) substituted heteroaryl optionally fused to aromatic hydrocarbon or aromatic heterocyclic ring], prodrugs thereof, or pharmaceutically acceptable salts of either are prepared These compds. have the function of reducing a blood sugar level and have preferable properties required of medicines, such as long-lasting drug activity and are useful in the prevention or treatment of diseases attributable to hyperglycemia, such as diabetes including insulin-dependent diabetes (type I diabetes) and insulin-independent diabetes (type II diabetes), complications of diabetes, and obesity. Thus, a solution of 0.81 g 2-[(4-bromonaphthalen-2yl)methyl]benzo[b]thiophene in 15 mL dry THF was treated dropwise with BuLi/hexane (1.6 M, 1.58 mL) at -78° over 5 min, stirred at -78° for 5 min, treated dropwise with a solution of 1.36 g (3R, 4S, 5R, 6R) - 3, 4, 5 -Tris-benzyloxy-6-benzyloxymethyltetrahydropyran-2- one in 10 mL dry THF at -78°, stirred at -78° for 2 h to give, after workup and silica gel flash chromatog., 75% (3R,4S,5S,6R)-2-[3-(Benzo[b]thiophen-2ylmethyl)naphthalen-1-yl]-3,4,5- trisbenzyloxy-6benzyloxymethyltetrahydropyran-2-ol (II). A solution of 1.4 g II in CH2Cl2 was treated dropwise with 0.34 mL triethylsilane and 0.24 mL BF3.OEt2 at 0° and stirred at room temperature for 2 h to give, after workup and silica gel chromatog., 80.2% (2S,3R,4R,5S,6R)-2-[3-(Benzo[b]thiophen-2-ylmethyl)naphthalen-1-yl]- 3,4,5-trisbenzyloxy-6benzyloxymethyltetrahydropyran (III). A solution of 1.1 g III in 30 mL CH2Cl2 was treated dropwise with 3.5 mL di-Me sulfide and 1.75 mL BF3.OEt2 at 0° and stirred at room temperature for 3 days to give, after workup and silica gel flash chromatog., 58.2% (2S,3R,4R,5S,6R)-2-[3-(Benzo[b]thiophen-2-ylmethyl)naphthalen-1- yl]-6hydroxymethyltetrahydropyran-3,4,5-triol (IV). IV in vitro human Na+glucose transporter (SGLT2, sodium-dependent glucose transporter 2) with IC50 of 18 nM.

REFERENCE COUNT:

THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

MARPAT COPYRIGHT 2007 ACS on STN L18 ANSWER 11 OF 45

39

ACCESSION NUMBER:

144:171190 MARPAT Full-text

TITLE:

Synthesis of carbo- or heterocycle-substituted phenyl D-glucopyranosyl C-glycosides for use as sodium-dependent glucose-cotransporter inhibitors

in the treatment of disease

INVENTOR(S):

Eckhardt, Matthias; Himmelsbach, Frank;

Eickelmann, Peter; Thomas, Leo; Barsoumian, Edward

PATENT ASSIGNEE(S):

Boehringer Ingelheim International GmbH, Germany;

Boehringer Ingelheim Pharma Gmbh & Co. KG

PCT Int. Appl., 106 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT:

	PAT	ENT I	. OV		KII	ND	DATE							ο.	DATE			
		20060									200			6	20050	721		
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		W:													BY,			
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			GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	
			ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	
			MW,	MX,	ΜZ,	NA,	NG,	ΝI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	
			SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	
			UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	
															SI,			
															NE,			
				-	-	-	-								TZ,			
			-				KG,						•	·	•	•	•	
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		1020																
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															0122		9 Z I	
										W	0 20	$_{ m U5-E}$	F/95	6	2005	U/ZI		

GΙ

$$HO \longrightarrow CH_2$$
 O \longrightarrow OMe

AB

The invention relates to substituted Ph D-glucopyranosyl C glycosides (e.g., I), which have an inhibitory effect upon the sodium-dependent glucose co-transporter (SGLT), and medicaments containing them for treatment of metabolic diseases (no data). Synthesis of substituted Ph moieties is given, starting from 5-bromo-2-chloro-anisole. Thus, D-glucono-1,5-lactone is protected as the tetra-O-(trimethylsilyl) derivative, and reacted with 1-bromo-4-chloro-3-substituted benzene; the trimethylsilyl groups are cleaved and the compound is reprotected as the tetraacetate, if further chemical manipulation is needed.

Ι

Alternately, the 3-Ph substitution may be a triisopropyloxy group, which may be desilylated and reacted with, e.g., 4-methoxycyclohexanol, to give, after deacylation, I. Formulations for administration of title compds. as tablets, capsules, suppositories, and ampoules are given.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 12 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:108546 MARPAT Full-text

TITLE: Preparation of monosaccharides and disaccharides

Van der Eycken, Johan

simmondsin analogs as antitumor agents and

angiogenesis inhibitors in study of drug discovery

INVENTOR(S):

Universiteit Gent, Belg. PATENT ASSIGNEE(S): SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT 1	NO.		KII	ND I	DATE			A.	PPLI	CATI	N NC	o. 	DATE		
EP	1616	 874		: A:	1 :	2006	0118		E:	P 20	04-4	4717	6	2004	0714	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,
		PT,	ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	ВG,	CZ,	EE,	HU,
		PL,	SK,	HR												
WO	2006	0051	42	A.	2 :	2006	0119		M	20	05-B	E114		2005	0713	
WO	2006	0051	42	A	3 :	2006	0824									
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,
		GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,
		ΚP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,
		UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,
		ZW,	AM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	MT					
PRIORITY	APP	LN.	INFO	.:					Ε	P 20	04-4	4717	6	2004	0714	
GT.																

GΙ

AΒ Compds. having the general formula I-d-L-e-Y were claimed, wherein A nd B are independently H, CN, halogen, N3, substituted oxime, imine, carboxylate, amide, alkyl, haloalkyl, cycloalkyl, acyloalkenyl, alkenyl, alkynyl, aryl, arylalkyl, alkoxyaryl, heterocycle, alkoxy, alkenyloxy, alkynyloxy, cycloalkyloxy, aryloxy, acyloxy, oxyheterocycle, alkylthio, cycloalkylthio, acylthio, thio-heterocycle, alkylamino, heterocyclic amino, hydroxyalkylamino, mercaptoalkylamino, alkynylamino, alkynylamino, acylamino, thioacylamino; A and B together form homo-cyclic or heterocyclic; T1-T5 are independently C, O, N; R1-R5 are independently H, CN, halogen, N3, OH, amino, carboxyl, alkyl, haloalkyl, cycloalkyl, cycloalkenyl, alkenyl, alkynyl, aryl, heteroaryl, arylalkyl, alkoxy, alkenyloxy, alkynyloxy, cycloalkyloxy, cycloalkenyloxy, aryloxy, substituted amino, substituted thio; S1-S5 are independently H, CN, halogen, carboxyl, alkyl, haloalkyl, cycloalkyl, cycloalkenyl, alkenyl, alkynyl, aryl, heteroaryl, arylalkyl, alkoxy, alkenyloxy, alkynyloxy, cycloalkyloxy, aryloxy, acyloxy, oxy-heterocycle, substituted thio; n is 0-2; d represents a moiety for the attachment of X and L, which replaces any one of the substituents R1-R5 and S1-S5; L is a linker consisting of a covalent bond, alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, heteroalkyl, cyclo-heteroalkyl, aryl, heteroaryl, arylalkyl, and heteroarylalkyl; e represents a moiety for the attachment of Y and L; Y is substituted heterocycle. This invention relates to the preparation of biol. active sugars such as monosaccharides and disaccharides having some degree of structural similarity with the simmondsin scaffold (no data). Compds. of the invention and tangeritin, a com. known angiogenesis inhibitor, are compared in their angiogenesis-inhibiting activity in vitro towards VEGF (Vascular Endothelial Growth Factor) stimulated angiogenesis (no data). Compds. of the invention are able to: (i) inhibit VEGF- and basic fibroblast growth factor-induced human endothelial cells proliferation, [ii] inhibit VEGF-induced in vitro tube formation by human micro-vascular endothelial cells in 3dimensional fibrin matrixes, (iii) inhibit the ex vivo outgrowth of tube-like structures of endothelial cells from fetal mouse metacarpal, and (iv) inhibit in vivo neovascularization of matrigel chambers in mice (no data). The presence or absence of estrogen-like activity in the compds. of the invention is reported (no data).

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 13 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:51836 MARPAT <u>Full-text</u>

TITLE: Preparation of 1,4- and 1,5-anhydro-D-ketoses for

use as synthons related to pharmaceutical, food

and cosmetic industries

INVENTOR(S): Lundt, Inge; Stuetz, Arnold; Dekany, Gyula; Thiem,

Joachim; Agoston, Karoly; Andreassen, Mikkel

PATENT ASSIGNEE(S): Glycom Aps, Den.

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.				D	DATE			A	PPLI	CATIO	ON NC	ο.	DATE		
WO	2005	1211	14	A:	2	2005	1222		M(20	05-DI	K377	-	2005	0607	
WO	2005	1211	14	A.	3	2006	1012									
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	ΕĒ,	EG,	ES,	FI,
		GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,
	KP, KR,			KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,
	MW, MX,			MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,
	SC, SD,			SE,	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,
		UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	ĊН,	CY,	CZ,
		DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,
		NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,
		GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG						
PRIORIT	PRIORITY APPLN. INF								A	U 20	04-9	0303	6	2004	0607	
									D:	K 20	04-1	060		2004	0705	
								U	S 20	04-5	8856	1P	2004	0716		

OTHER SOURCE(S):

CASREACT 144:51836

GΙ

AB 1,4- And 1,5-anhydro-D-ketoses, I and II, wherein X is O, S, (un) substituted amine, CH2, (un) substituted alkyl-hydroxy, alkylamino, alkylthio, carbonyl, sulfoxide and sulfone; E1 is hydrogen, alkyl, optionally heteroalkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, optionally heteroaryl, acyl; E2 and E3 are independently selected from OH, mono- or multivalent metal oxide, alkoxy, carbonyl,

thione, SH, mono- or multivalent metal thiol, thioalkyl, N3, NH2, NH3+, (un) substituted amino, and halogen; E4 is hydrogen, Me, (un) substituted alkyl-hydroxy and alkyl-thiol, (un) substituted amine, carbonyl, sulfoxide, and halogen are prepared for use as synthons related to pharmaceutical, food and cosmetic industries. Thus, III, was prepared via catalytic- and/or pyrolytic sulfenic acid elimination of a corresponding β -hydroxy sulfoxide glycoside as a key step. The methodol. presented also includes N-deprotection of N-substituted amino-glycals, O-deprotection of carbohydrate enol-ethers and/or Oacyl-substituted carbohydrate enols, and regio- and stereoselective modification and subsequent chemical transformation of bicyclic and/or tricyclic 1,4- and 1,5-anhydro-glyco derivs. by extension. The compds. prepared can be used as an antioxidant, a radical scavenger, a sweetener, a non-caloric sweetener, a taste enhancing agent, a taste improving agent, an emulsifier, a water solubility enhancing agent, an antimicrobial agent, an antidiabetic agent, a glycosidase inhibitor, a food preserving agent, a feed preserving agent, a chelating agent, a starch deterioration inhibiting agent, a food color retaining or stabilizing agent, a water retaining agent, a moisturizer, a waterstoring agent, a fragrance stabilizer, a taste stabilizer, a protein stabilizer, a moisture releasing agent, a bilayer forming agent, a micelle forming agent, a detergents, a bulking agent, a tenside, a surfactant, a functional food, and/or a non-caloric functional food additive (no data).

L18 ANSWER 14 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:32186 MARPAT <u>Full-text</u>

TITLE: New aminoglycoside compounds and derivatives

thereof

INVENTOR(S): Nelson, Adam; Stockley, Peter

PATENT ASSIGNEE(S): University of Leeds, UK SOURCE: PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA	CENT :	NO.		KII	ND	DATE			A	PPLI	CATI	ои ис	. :	DATE		
WO	2005	1160	41	A	2	2005	1208		M	20¢	05-GI	3213	3 :	2005	0527	
WO	2005	1160	41	A.	3	2006	0824									
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		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,
		GB,	GD,	GE,	GH,	GM,	HR,	.HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,
	KP, KR,		KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MZ,	NA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,
		UG,	US,	UŻ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,
		DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙĖ,	IS,	ΙT,	LT,	LU,	MC,
		NL.	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,

GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2004-11948 20040528

New amino glycoside compds. or derivs. thereof having at least one sugar moiety and which comprise two or more cyclic structures capable of forming "charmed" structural features at physiol. pH, and which have binding affinities for RNA and protein structures and may be used as therapeutic or screening or diagnostic agents and the like. The compds. of the present invention are especially useful as antibiotics, antiviral agents and as agents for preventing premature stop codon arrest of protein synthesis. The invention further provides use of glycosides as probes in identifying regions of conformational space that are not populated by natural antibacterial or antiviral products and thus represent new targets for therapy.

L18 ANSWER 15 OF 45 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 143:387313 MARPAT Full-text

TITLE: Preparation of glycosides as antidiabetic agents

and having inhibitory activity against

sodium-dependent transporter

INVENTOR(S): Nomura, Sumihiro; Kawanishi, Eiji; Ueta, Kiichiro

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: U.S. Pat. Appl. Publ., 123 pp., Cont.-in-part of

Appl. No. PCT/JP04/011312.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PAT	rent 1	NO.		KI	ND !	DATE				PPLI(o.	DATE	_	
	2005						1020 0210		U:	s 200	05-4	5446		20050		
WO	2005															C 7
	W:													BY,		
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	F1,
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		KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,
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WO	2006															~ ~
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		KN,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,
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TZ, UA																

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,
             IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
             ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
                                            US 2006-446014
                                                              20060602
     US 2006217323
                       Α1
                             20060928
                                            US 2006-453728
                                                              20060615
                             20061012
     US 2006229260
                        Α1
     US 2006234954
                             20061019
                                            US 2006-453727
                                                              20060615
                        Α1
                                            US 2006-453726
                                                              20060615
     US 2006293251
                       Α1
                             20061228
                                                              20030801
PRIORITY APPLN. INFO.:
                                            US 2003-491534P
                                            WO 2004-JP11312
                                                              20040730
                                            US 2003-491523P
                                                              20030801
                                                              20031112
                                             US 2003-519155P
                                             US 2003-519209P
                                                              20031112
                                             US 2003-519210P
                                                              20031112
                                             US 2003-519381P
                                                              20031112
                                             US 2004-579722P
                                                              20040615
                                             US 2004-579730P
                                                              20040615
                                             US 2004-579758P
                                                              20040615
                                             US 2004-579792P
                                                              20040615
                                             US 2004-903034
                                                              20040730
                                             US 2004-903136
                                                              20040730
                                             US 2004-903233
                                                              20040730
                                                              20040730
                                             US 2004-903234
                                             JP 2005-23728
                                                              20050131
                                             US 2005-45446
                                                              20050131
                                                              20051017
                                             US 2005-726653P
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OTHER SOURCE(S):

CASREACT 143:387313

AB Glycosides I, wherein A and B are: (1) A is unsatd. monocyclic heterocyclic, and B is unsatd. monocyclic heterocyclic, unsatd. fused hetero-bicyclic, or benzene, (2) A is benzene, and B is unsatd. monocyclic heterocyclic or unsatd. fused hetero-bicyclic, or (3) A is unsatd. fused hetero-bicyclic, and B are independently unsatd. monocyclic heterocyclic, unsatd. fused hetero-bicyclic, or benzene; X is a carbon atom or a nitrogen atom; Y is -(CH2)n- (n is 1 or 2); a pharmaceutically acceptable salt thereof, or a prodrug thereof. A method is claimed for treating or delaying the progression or onset of diabetes mellitus, diabetic retinopathy, diabetic neuropathy, diabetic nephropathy, delayed wound healing, insulin resistance, hyperglycemia, hyper-insulinemia, elevated blood levels of fatty acids, elevated

blood levels of glycerol, hyperlipidemia, obesity, hypertriglyceridemia, Syndrome X, diabetic complications, atherosclerosis, or hypertension. The pharmaceutical compns. may be orally administered to mammalian species including human beings, apes, dogs, etc., for example, in the dosage form of tablet, capsule, granule or powder, or administered in the form of injection preparation, or intra-nasally, or in the form of transdermal patch. Thus, $1-(\beta-D-glucopyranosyl)-4-chloro-3-(6-ethyl-benzo[b]thiophen-2$ yl- methyl)benzene was prepared as antidiabetic agent and having inhibitory activity against sodium-dependent transporter.

L18 ANSWER 16 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

143:367527 MARPAT Full-text

TITLE:

Preparation of glucopyranoside compounds

containing naphthalene moiety as SGLT inhibitors

INVENTOR(S):

Fujikura, Hideki; Fushimi, Nobuhiko; Isaji,

Masayuki

PATENT ASSIGNEE(S):

Kissei Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT	NO.		KII	1D	DATE			A!	PPLI	CATI	уй ИС	ο.	DATE		
WO	2005	 0953	- -	 A:	1 :	2005:	1013		M(20)	05-J	P669	- - 6	20050	0330	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,
		GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,
		KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,
	MX, MZ,		NA,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	
	SE, SG,		SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	
		UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW								
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,
		AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,
		•												LT,		
		NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,
		GN,	GQ,	GW,	\mathtt{ML} ,	MR,	ΝE,	SN,								
PRIORITY GI.	INFO	. :	٠				J	P 20	04-1	0189	4	2004	0331			

$$E^2$$
 OH
 OH
 II

Title compds. I [R1-R6 = H, OH, amino, etc.; R7, R8 = H, OH, halo, etc.; ring A = aryl, heteroaryl; G = II, etc.; E1 = H, F, OH; E2 = H, F, Me, etc.; Q = alkylene, alkenylene, alkynylene, etc.] were prepared For example, glycosidation of 8-phenethylnaphthalen-1-ol, e.g., prepared from 8-hydroxynaphthalene-1-carboxaldehyde in 2 steps, with 2,3,4,6-tetra-O-acetyl-1-O-trichloroacetimidoyl- α -D- glucopyranose in the presence of BF3·OEt2 followed by deacetylation using NaOMe afforded 8-phenethylnaphth-1-yl β -D-glycopyranoside (III). In SGLT1 (sodium-dependent glucose transporter 1) inhibition assays, compound III exhibited the IC50 value of 220 nM. Compds. I are claimed useful for the treatment of diabetes, obesity, etc.

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 17 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

17

ACCESSION NUMBER:

143:367526 MARPAT Full-text

TITLE:

Preparation of glucopyranose compounds containing

naphthalene moiety as SGLT inhibitors

INVENTOR(S):

Fujikura, Hideki; Fushimi, Nobuhiko; Isaji,

Masayuki

PATENT ASSIGNEE(S):

Kissei Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-			
WO 2005095373	A1	20051013	WO 2005-JP6708	20050330
W. AE AG	AT. AM	AT. AU. AZ.	BA, BB, BG, BR, BW	, BY, BZ, CA,

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD; SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20040331

PRIORITY APPLN. INFO.:

JP 2004-101895

GΙ

$$E^2$$
 HO
 OH
 OH
 II

Title compds. I [R1-R6 = H, OH, amino, etc.; R7, R8 = H, OH, halo, AB etc.; ring A = aryl, heteroaryl; G = II, etc.; E1 = H, F, OH; E2 = H, F, Me, etc.; Q = alkylene, alkenylene, alkynylene, etc.] were prepared For example, dehydroxylation of 2,3,4,6-tetra-O-benzyl-1-[1-(2phenylethyl)naphthalen-7-yl]-D-glucopyranose, e.g., prepared from 2bromonaphthalene in 3 steps, using triethylsilane and BF3.0Et2 followed by treatment with ethanethiol in the presence of BF3.0Et2 afforded 7- $(\beta$ -D-glucopyranosyl)-1-(2-phenylethyl)naphthalene (III). In SGLT2 (sodium-dependent glucose transporter 2) inhibition assays, the IC50 value of compound III was 41 nM. Compds. I are claimed useful for the treatment of diabetes, obesity, etc.

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 18 OF 45 MARPAT COPYRIGHT 2007 ACS on STN L18 142:411218 MARPAT Full-text ACCESSION NUMBER: TITLE:

17

Preparation of pyrovalerone analogs as selective

dopamine transporter inhibitors

INVENTOR(S): Madras, Bertha K.; Meltzer, Peter C.; Butler,

David

PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA;

Organix, Inc.

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.				ND	DATE			A	PPLI	CATI	ON NO	ο.	DATE		
	2005								W	20	04-U	3333	49	2004	1008	
	W:	AE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,
		GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	KE,	KG,	KΡ,
		KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,
		MX,	MZ,	NA,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,
	SE, SG			SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	TT,	ΤŻ,	UA,	UG,	US,	UZ,
	VC, VN		•	•	•	•										
	RW: BW, GH,															
		•	•	•			-	-	-	•				CH,		
		•	•	•	•	•	•							MC,		
									ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,
		-	-	-		SN,										
	2004															
	2542													2004		
EP	1670													2004		
	R:													NL,	SE,	MC,
						RO,										
	JP 2007508314					2007	0405									
PRIORIT	Y APP	LN.	INFO	.:										2003		
0.7								W	0 20	∪4-U	5333	49	2004	T008	•	

GΙ

$$\mathbb{R}^{1}$$

$$\mathbb{R}^{3}$$

$$\mathbb{R}^{3}$$

AB Pyrovalerone analogs, e.g., I [R1 = 1-4 H, halo, alkyl, alkoxy, etc.; R3 = H, alkyl, alkoxy, etc.; n = 0-4; m, p = 0-2] are prepared For instance, pyrovalerone•HCl is resolved into enantiomers using D-dibenzoyltartaric acid and L-dibenzoyltartaric acid. (S)-pyrovalerone ((S)-2-(Pyrrolidin-1-yl)-1-(p-tolyl)pentan-1-one) is potent at the dopamine transporter (IC50 = 3 nM) and at the serotonin transporter

(IC50 > 50 μM). Compds. of the invention are useful in the treatment of, e.g., depression.

L18 ANSWER 19 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 142:219491 MARPAT Full-text

Preparation of glycosides as antidiabetic agents and having inhibitory activity against TITLE:

sodium-dependant transporter

Nomura, Sumihiro; Kawanishi, Eiji; Ueta, Kiichiro INVENTOR(S):

Tanabe Seiyaku Co., Ltd., Japan PATENT ASSIGNEE(S):

PCT Int. Appl., 221 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 8

PAT	CENT I	NO.		KII	ND	DATE			AI	PLI(CATI	ON NO). 	DATE			
WO	2005	01232	26	A.	1	20050	210		W	200	04-J	P113	12	2004	0730		
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	
		GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	
		KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	
														RU,			
		SE,	SG,	SK,	SL,	SY,	ŢJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	
		VC,	VN.,	YU,	ZA,	ZM,	ZW										
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
		AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	
		DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	
		PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	
		GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG									
ΑU	2004	2607												2004			
	2534													2004	0730		
EΡ	1651					2006								2004			
	R:													NL,			
		PT,	IE,	SI,										HU,		SK,	HR
CN	1829	729				2006								2004			
BR	2004	0132				2006						3232		2004			
	2005			Α										2005			
	2006			A		2006								2006			
	2006			A		2007								2006			
	2006			A		2006								2006			
	2006			A		2006								2006			
	2006			A		2006						5372					
	2006			A	1	2006	1228					5372		2006			
ORIT	Y APP	LN.	INFO	.:								9153		2003			
												9152		2003			
												1915		2003			
												1920		2003			
												1921		2003 2003			
												1938		2003			
									U	S 20	04-5	7972	2 P	2004	0010		

US	2004-579730P	20040615
US	2004-579758P	20040615
US	2004-579792P	20040615
US	2004-903034	20040730
US	2004-903136	20040730
US	2004-903233	20040730
US	2004-903234	20040730
ω	2004-JP11312	20040730

GΙ

$$A-Y-B$$
 OH
 O

Glycosides I, wherein A and B are: (1) A is unsatd. monocyclic AB heterocyclic, and B is unsatd. monocyclic heterocyclic, unsatd. fused hetero-bicyclic, or benzene, (2) A is benzene, and B is unsatd. monocyclic heterocyclic or unsatd. fused hetero-bicyclic, or (3) A is unsatd. fused hetero-bicyclic, and B are independently unsatd. monocyclic heterocyclic, unsatd. fused hetero-bicyclic, or benzene; X is a carbon atom or a nitrogen atom; Y is -(CH2)n- (n is 1 or 2); a pharmaceutically acceptable salt thereof, or a prodrug thereof. method is claimed for treating or delaying the progression or onset of diabetes mellitus, diabetic retinopathy, diabetic neuropathy, diabetic nephropathy, delayed wound healing, insulin resistance, hyperglycemia, hyper-insulinemia, elevated blood levels of fatty acids, elevated blood levels of glycerol, hyperlipidemia, obesity, hypertriglyceridemia, Syndrome X, diabetic complications, atherosclerosis, or hypertension. The pharmaceutical compns. may be orally administered to mammalian species including human beings, apes, dogs, etc., for example, in the dosage form of tablet, capsule, granule or powder, or parenterally administered in the form of injection preparation, or intra-nasally, or in the form of tranucleosideermal patch. Thus, $1-(\beta-D-glucopyranosyl)-4-chloro-3-$ (6-ethyl-benzo[b]thiophen-2-yl-methyl)benzene was prepared as antidiabetic agent and having inhibitory activity against sodiumdependent transporter.

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 20 OF 45 ACCESSION NUMBER: TITLE:

MARPAT COPYRIGHT 2007 ACS on STN 142:219490 MARPAT Full-text

Preparation of substituted fused heterocyclic C-glycosides for the treatment or prophylaxis of diabetes and Syndrome X

34

INVENTOR(S): Rybczynski, Philip; Urbanski, Maud; Zhang, Xiaoyan

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Tanabe Seiyaku

Co., Ltd

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

	PATENT NO.										PPLIC	CATIO	ои ис	ο.	DATE		
		20050	0123	18	Αź	2	2005	0210			200) 4 – US	52462	25	20040	730	
		₩:	AE, CH,	AG, CN,	AL, CO,	AM, CR,	AT, CU,	AU, CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	BY, EG, KE,	ES,	FI,
			KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,
															RU, UG,		
			VC,	VN,	YU,	ZA,	ZM,	ZW									
		RW:													UG, CH,		
			DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,
							SK, SN,			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,
	ΑU	2004	•	•	мк, А		2005		1 G	A	U 20	04-2	6166	0	2004	0730	
	CA	2549	015		A	1	2005								2004		
		2005								U:	S 20	04-9	0313	6	2004	0730	
	EP 1679965						2006			E	D 20	047	7062	0	2004	0730	
	ΕP																MC.
	R: AT, BI PT, II																
			PL,	SK,	HR												
	IN	2006	KN01	594	A		2007						N159		2006		
		2006					2007						N159				
		2006					2006			U	S 20	06-4	4601	4	2006		
		2006			A		2006			U	S 20	06-4	5372 5372	8 7	2006 2006		
		2006			A		2006 2006						5372		2006		
DDTAI		2006 Y APP				1	2006	1220					9152		2003		
PRIOR	Χ11.	I APP	LIN.	INFO	• •								9153		2003		
													1921		2003		
										Ü	s 20	04-5	7973	0 P	2004	0615	
										U	s 20	03-5	1915	5P	2003	1112	
										U	s 20	03-5	1920	9P	2003	1112	
													1938		2003		
		,											7972		2004		
													7975		2004		
													7979		2004		
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										_			0323		2004		
													0323		2004		
											•	-					

WO 2004-US24625 20040730

OTHER SOURCE(S):

CASREACT 142:219490

GΙ

This invention relates to substituted fused heterocyclic C-glycosides AB I, wherein R1 is H, alkyl; or, where the dashed line between NR and X is present, R1 is absent; X is N, C=O, CH, or C-Q-Z; Y is N-Q-Z or C-Q-Z, where X is N, C=O, or CH; Y is CH, where X is C-Q-Z; Q = -(CH)nwhere n = 1 or 2; Z is cycloalkyl, Ph, a 5- or 6-membered heteroaryl having 1 or 2 heteroatoms independently selected from N, O, and S, a biaryl, a 9- or 10-membered fused bicyclyl, and a fused heterobicyclyl, wherein said fused heterobicyclyl has between 1 and 4 heteroatoms independently selected from N, O, S, were prepared for the treatment or prophylaxis of diabetes and Syndrome X. Thus, glycoside II was prepared and tested in mice for the treatment or prophylaxis of diabetes and Syndrome X. The diabetes or Syndrome X, or associated symptoms or complications thereof is selected from IDDM, NIDDM, IGT, IFG, obesity, nephropathy, neuropathy, retinopathy, atherosclerosis, polycystic ovarian syndrome, hypertension, ischemia, stroke, heart disease, irritable bowel disorder, inflammation, and cataracts.

MARPAT COPYRIGHT 2007 ACS on STN ANSWER 21 OF 45

ACCESSION NUMBER: 142:36557 MARPAT Full-text

Sterol markers as diagnostic tools in the TITLE:

prevention of atherosclerotic diseases and as

tools to aid in the selection of agents to be used

for the prevention and treatment of

atherosclerotic disease

Assmann, Gerd; Erbey, John R., II INVENTOR(S):

PATENT ASSIGNEE(S):

Schering Corporation, USA

SOURCE:

PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

1	PATENT NO.				KI	. dr	D DATE APPLICATION NO.					DATE					
		20041					2004: 2005:		,	W	200	04-U	S172	00	2004	0528	
		W:	AE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒŻ,	CA,
			CH,	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,
			GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,
			KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,
			MX,	MZ,	NA,	NI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,
			SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪG,	US,	UZ,
			VC,	VN,	YU',	ZA,	ZM,	ZW									
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,
			DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,
										ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,
			GW,	ML,	MR,	NE,	SN,	TD,	ΤG								
1	US 2004259179					1	2004	1223					5691		2004		
PRIOR	RIORITY APPLN. INFO.:									US 2003-474438P 20030530							
									_			5117		2004			
										US 2004-559170P 20040402							

The present invention relates to methods for characterizing an individual's risk profile of developing a future cardiovascular disorder by measuring the level of sterols obtained from a individual. The present invention also includes methods of evaluating the likelihood of whether an individual will benefit from treatment with an agent such as a sterol absorption inhibitor for reducing risk of a future cardiovascular event, such as atherosclerosis, myocardial infarction and stroke.

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L18 ANSWER 22 OF 45 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 141:296242 MARPAT Full-text
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TITLE:

Preparation of C-glycoside derivatives and salts

INVENTOR(S):

thereof as Na+-glucose co-transporter inhibitor Imamura, Masakazu; Murakami, Takeshi; Shiraki,

Ryota; Ikegai, Kazuhiro; Sugane, Takashi; Iwasaki, Fumiyoshi; Kurosaki, Eiji; Tomiyama, Hiroshi;

Noda, Atsushi; Kitta, Kayoko; Kobayashi, Yoshinori

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co. Ltd., Japan;

Kotobuki Pharmaceutical Co. Ltd.

SOURCE:

PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

Japai

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

1

PA'	PATENT NO.					DATE			Al	PPLI	CATI	ои ис	٥.	DATE		
WO	2004	0809	90	A	1	2004	0923		W	0 20	04-J	P332	4	2004	0312	
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,
		GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,
		KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,
		MX,	ΜZ,	NA,	NI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,
		SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,
		VC,	VN,	YU,	ZA,	ZM,	ZW									
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,
		DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,
		•	•			TD,										
AU	2004	2202	22	Α	1	2004	0923									
CA	2526	145		Α	1	2004	0923		C	A 20	04-2	5261	45	2004	0312	
EP	1609															
	R:													NL,		
		PT,	ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,
		PL,														
	2004					2006								2004		
	1802			A		2006								2004		
	2006					2006			U	S 20	05-5	4161	5	2005	0707	
	7202			В		2007										
	NO 2005004713					2005	1214			0 20				2005		
PRIORIT	IORITY APPLN. INFO.			.:				JP 2003-70297 2003031								
									W	0 20	04-J	P332	4	2004	0312	
GI																

$$R^{5}$$
 R^{6}
 R^{8}
 R^{9}
 R^{10}
 R^{20}
 R^{7}
 R^{11}
 R^{10}
 R^{10}

C-glycoside derivs. represented by the following general formula (I) AB or salts thereof [wherein ring A = benzene, 5- or 6-membered monocyclic heteroaryl ring containing 1-4 heteroatoms selected from N, S, and O, or (un)saturated 8- to 10-membered bicyclic heterocyclic ring containing 1-4 heteroatoms selected from N, S, and O; ring B = (un) saturated 8- to 10-membered bicyclic heterocyclic ring containing 1-4 heteroatoms selected from N, S, and O, (un)saturated 5- to 6membered heterocyclic ring containing 1-4 heteroatoms selected from N, S, and O, (un)saturated 8- to 10-membered carbocyclic ring, or benzene ring; X = a bond, lower alkylene; R1-R4 = H, lower alkyl, lower alkylcarbonyl, lower alkylene-aryl; R5=R11 = H, lower alkyl, cycloalkyl, halo, halo-lower alkyl, OH, oxo, NH2, lower alkylsulfonyl, halo-lower alkylsulfonyl, arylsulfonyl, aryl, (un) saturated 5- or 6membered monocyclic heterocyclyl containing 1-4 heteroatoms selected from N, S, and O, hydroxy-lower alkyl, lower alkoxy-lower alkyl, etc.] are prepared These C-glycosides, more specifically C-glucosides, are useful as Na+-qlucose cotransporter inhibitors in remedies for, e.g., diabetes, in particular, insulin-independent diabetes (type 2 diabetes) and insulin-dependent diabetes (type 1 diabetes), as well as remedies for insulin resistance diseases and various diseases relating to diabetes including obesity. Thus, lithiation of benzo[b]thiophene with BuLi/hexane in THF at -78° for 2 h, addition reaction with 3- $(2,3,4,6-tetra-O-benzyl-\beta-D-glucopyranosyl)$ benzaldehyde for 5 h, reduction with triethylsilane in the presence of BF3.0Et2 in CH2Cl2 for 2 h under ice-cooling, and finally debenzylation with BBr3/heptane in CH2Cl2 at -78° for 90 min gave (1S)-1,5-anhydro-2,3,4,6-tetra-0benzyl-1- [3-(1-benzothiophen-2-ylmethyl)phenyl]-D-glucitol (II; R = II (R = OMe) showed IC50 of 3.8 nM for inhibiting the uptake of Me α -D-(U-14C)glucopyranoside in CHO cells stably expressing human Na+-glucose transporter (SGLT2).

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 23 OF 45 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 141:123854 MARPAT Full-text

TITLE: Preparation of D-glucose derivatives as human

SGLT2 inhibitors

INVENTOR(S): Fujikura, Hideki; Nishimura, Toshihiro; Katsuno,

Kenji; Isaji, Masayuki

PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004058790 A1 20040715 WO 2003-JP16310 20031219

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR,

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KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,
             MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
             SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
             YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,
             DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
             SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
                                            CA 2003-2509835
                            20040715
                                                             20031219
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                       Α1
    AU 2003289440
                       A1
                            20040722
                                            AU 2003-289440
                                                             20031219
                       Α1
                                            EP 2003-780923
    EP 1577317
                            20050921
                                                             20031219
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
             PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                            US 2005-540519
                                                             20050623
                            20060216
    US 2006035840
                       A1
PRIORITY APPLN. INFO.:
                                            JP 2002-374016
                                                             20021225
                                            WO 2003-JP16310
                                                             20031219
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GI

The title compds. I [wherein X1-X4 = independently N, (un) substituted CH, etc.; $R \neq 4-Z-Ph$; Z = H, halo, (un) substituted alkyl, alkoxy, etc.] or pharmaceutically acceptable salts or prodrugs thereof are prepared as human SGLT2 activity inhibitors. For example, the compound II was prepared in a four-step synthesis. II inhibited human SGLT2 with IC50 of 3 nM. I are useful as a preventive or a remedy for diseases caused by hyperglycemia such as diabetes, diabetic complications, and obesity (no data).

L18 ANSWER 24 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

141:16272 MARPAT Full-text

TITLE:

Preparation of porphyrin derivatives and

conjugates as photosensitizers in photodynamic

therapy

INVENTOR(S):

Yahioglu, Gokhan; Ibanez Garcia, Delisa

PATENT ASSIGNEE(S): Photobiotics Limited, UK SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PA	PATENT NO.			KII	ND DATE				APPLICATION NO.					DATE			
	2004					20040603 20040812			W	20	03-GI	B512	8	2003	1121		
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	
							-							ES,			
		GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	
														MN,			
	•	MZ,	NI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	
		SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	
	YU, ZA			ZM,	ZW												
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	
		DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	
		SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
		MR,	NE,	SN,	TD,	TG											
AU	2003	2902	16	A	1	2004	0615		A	U 20	03-2	9021	6	2003	1121		
ΕP	1562	951		A.	2	2005	0817		Ε	P 20	03-7	8257	9	2003	1121		
	R:													NL,			
		PT,	ΙE,	SI,	LT,	LV,	FI,							CZ,		HU,	SK
US	2006	2932	49	Α	1	1 20061228								2005			
PRIORIT	ORITY APPLN. INFO													2002			
								W	0 20	03-G	B512	8	2003	1121			

The present invention relates to organoalkyltris(organoethynyl)porphyr ins and their metal complexes I [R1 is independently -C.tplbond.C-W (W = aryl, alkyl or heteroaryl group, each of which may be optionally substituted by one or more of OH, halo, isothiocyanate group, haloacetamide, maleimide, COOH, NO2, NH2, alkyl, haloalkyl, alkoxy, (CO)n(O)mZ (Z is silicon-containing protecting group), polyethylene glycol group, alkyl sulfonate group, alkyl-COOH, (un)substituted benzyl, or sugar derivative); R2 = H, halo, isothiocyanate group, haloacetamide, maleimide, various (un)substituted Y-aryl or Y-heteroaryl groups, where Y is O, S, NH, C(O) or CO2; X = C1-20

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alkylene group, optionally substituted by one or more substituents selected from halo, NO2, CN, OH, OMe, NH2, CF3, COOH and CONH2; each R3-R6 is dependently H, alkyl, alkoxy, halo or OH; M = 2H, metal]. The invention also relates to certain alkyl- or (organoalkyl)porphyrin intermediates used in the preparation of the organoethynyl-substituted porphyrin derivs. and a process for the preparation of compds. I is claimed. Conjugates of I or of the intermediates with a targeting moiety are claimed, including conjugates with a recombinant antibody, Fab fragment, F(ab')2 fragment, single chain Fv, diabody, disulfidelinked Fv, single antibody domain and CDR (complimentary determining region), or polypeptide carrier comprising at least one α helix attached to a plurality of the porphyrins. The porphyrin derivs. or conjugates may be used as photosensitizers in medicine (medical imaging, photodynamic therapy, or treating a proliferative disorder such as cancer).

L18 ANSWER 25 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 139:57898 MARPAT <u>Full-text</u>

TITLE: Isoflavonoid conjugates, compositions thereof and

therapeutic methods involving same

INVENTOR(S): Heaton, Andrew; Kelly, Graham Edmund PATENT ASSIGNEE(S): Novogen Research Pty. Ltd., Australia

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	, KI	ND I	DATE		APPLICATION NO. DATE									
WO 2003	 051864	 A	1	2003	0626		M(20	02-A	J172	2	2002	1219	
W:	AE, AG	, AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,
	CN, CO	, CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,
	GE, GH	, GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,
	LC, LF			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,
	, OM,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	
	TM, TN	, TR,	TT,	ΤŻ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
RW:	GH, GM	, KE,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
	BY, KG	, KZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	EE, ES	, FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,
	TR, BF	, вJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
	TD, TG													
AU 2002	350275	A	1	2003	0630		A	U 20	02-3	5027	5	2002	1219	
PRIORITY APP	LN. INF					AU 2001-9570 20011219								
								WO 2002-AU1722 20021219						

The invention relates to compds., formulations, drinks, foodstuffs, methods and therapeutic uses involving, containing, comprising, including and/or for preparing isoflavone conjugate compds. and analogs thereof. More preferably the invention relates to sulfoconjugates and glucoconjugates of isoflavonoids, medicaments involving same and therapeutic uses thereof. Dihydroxy-substituted isoflavone dehydroequol was treated with sulfur trioxide to afford

dehydroequol-di-O-sulfate. Therapeutic formulations were prepared containing isoflavonoid conjugates.

REFERENCE COUNT:

38

THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 26 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

139:53247 MARPAT Full-text

TITLE:

Preparation, stereoselective epoxidation and nucleophilic ring cleavage of glycosides,

4-deoxypentenosides, dihydropyrans, and

tetrahydropyrans

INVENTOR(S):

Wei, Alexander; Boulineau, Fabien P.

PATENT ASSIGNEE(S):

Purdue Research Foundation, USA

SOURCE:

PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.				KII	ND	DATE			Al	BBPT(CATT (ON NO	J.	DATE		
	WO	2003	0518	30	: А2	2	2003	0626		M(200	02-U	3982	24	2002	1213	
	WO	20030	0518	30 -	A.	3	2003	1113									
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,
			NO,	NZ,	OM,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,
			TM,	TN,	TR,	TT,	TZ_{r}	UA,	UG,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
		RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
			BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
			TD,	TG													
	AU 2002361656						2003	0630	O AU 2002-361656 200212						1213		
	US 2003181402					1	2003	0925	US 2002-319335 2002121						1213		
PRIO	PRIORITY APPLN. INFO				.:		US 2001-3			01-3	-340302P 20011214						
										W	0 20	02-U	S398	24	2002	1213	
										0 47							

OTHER SOURCE(S):

CASREACT 139:53247

GΙ

Stereoselective epoxidn. of glycals I, wherein R1-R3 are independently AΒ H, substituted or unsubstituted saturated or unsatd. hydrocarbon, amine, ether, silyl, phosphane, phosphite, sulfide, sulfone, sulfoxide, carboxy, acyl, azide, cyanide, thiocyanate, halogen, followed by nucleophilic epoxide ring cleavage gave the corresponding glycosides. Novel, enantiopure, substituted 4-deoxypentenosides (4-DPs) and related dihydropyrans (DHPs) are prepared from common carbohydrates via a novel process. The 4-DPs and related DHPs are amenable to a broad range of stereoselective transformations and are used as synthetic intermediates to prepare a variety of enantiopure tetrahydropyrans (THPs), including rare or exotic sugars and other complex THPs of com. or medicinal value. In one embodiment of the instant invention, 4-DPs are converted to L-sugars in a novel process that offers distinct advantages over known synthetic methods. epoxide II was prepared by stereoselective epoxidn. of the corresponding glycal I [R1 = OMe(α), R2 = OBn(α), R3 = OBn]. Glycoside III was prepared by stereoselective nucleophilic ring cleavage of II in 78% yield.

L18 ANSWER 27 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

139:36736 MARPAT Full-text

TITLE:

Preparation of C-aryl glucoside as antidiabetic

agents and SGLT2 inhibitors

INVENTOR(S):

Washburn, William N.; Ellsworth, Bruce; Meng, Wei;

Wu, Gang; Sher, Philip M.

Bristol Myers Squibb Company, USA

SOURCE:

U.S. Pat. Appl. Publ., 42 pp., Cont. of U.S. Ser.

No. 805,341, abandoned.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003114390	A1	20030619	US 2002-264410	20021004
US 6936590	B2	20050830		
PRIORITY APPLN. INFO.	:		US 2001-805341	20010313
GI				

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Sodium-dependent glucose transporters found in the intestine and kidney (SGLT2) inhibit C-aryl glucoside compds. I where R1, R2, and R2a are independently hydrogen, OH, OR5, lower alkyl, CF3, OCHF2, OCF3, SR5i or halogen, or two of R1, R2 and R2a together with the carbons to which they are attached can form an annelated 5-, 6-, or 7-membered carbocycle or heterocycle; R3 and R4 are independently hydrogen, OH, OR5a, O-aryl, OCH2Aryl, lower alkyl, cycloalkyl, CF3, -

OCHF2, -OCF3, halogen, -CN, -CO2R5b, -CO2H, -COR6b, -CH(OH)R6c, -CH(OR5h)R6d, -CONR6R6a, -NHCOR5c, -NHSO2R5d, -NHSO2Aryl, Aryl, -SR5e, -SOR5f, SO2R5g, SO2Aryl, or a 5-, 6-, or 7-membered heterocycle, or R3 and R4 together with the carbons to which they are attached form an annelated 5-, 6-, or 7-membered carbocycle or heterocycle; R5, R5a, R5b, R5c, R5d, R5e, R5f, R5g, R5h, and R5I are independently lower alkyl; R6, R6a, R6b, R6c and R6d are independently hydrogen, alkyl, aryl, alkylaryl or cycloalkyl, or R6 and R6a together with the nitrogen to which they are attached form an annelated 5-, 6-, or 7membered heterocycle; A is O, S, NH, or (CH2)n where n is 0-3. A method is also provided for treating diabetes and related diseases employing an SGLT2-inhibiting amount of the above compound alone or in combination with another antidiabetic agent or other therapeutic agent. Thus, II was prepared as an antidiabetic agent other than an SGLT2 inhibitor, an agent for treating the complications of diabetes, an antiobesity agent, an antihypertensive agent, an antiplatelet agent, an antiatherosclerotic agent, and/or a lipid-lowering agent (no data).

REFERENCE COUNT:

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 28 OF 45 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 138:397888 MARPAT Full-text

TITLE:

Oligonucleotides containing $\alpha-L-$

ribonucleosides, their synthesis and use in

diagnosis and therapy

INVENTOR(S):

Wengel, Jesper Exigon A/S, Den.

PATENT ASSIGNEE(S):

PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

F	PATENT NO.			KII	ND	DATE			APPLICATION NO.				ο.	DATE				
							2 20030515 3 20031204			W	200	02 - II	35080	0	2002	1105		
•	••								AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	
															FI,			
															KP,			
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	
			NO,	NZ,	OM,	PH,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
		RW:													ZW,			
															CZ,			
															SE,			
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,						NE,		TD,	TG
P	AU 2002351077 A1 20							0519							20021105			
PRIORI	RIORITY APPLN. INFO.:								DK 2001-1640 20011105									
															2001			
										WO 2002-IB5080 20021105								

The invention relates to novel $\alpha-L-RNA$ monomers, which, when incorporated into an oligonucleotide impair a higher tendency towards hybridization with a RNA complement, as compared to a DNA complement. The invention also relates to a process for the preparation of an $\alpha-L-RNA$ modified oligonucleotide and an intermediate for manufacturing the same. The novel oligonucleotides are useful for a variety of therapeutic, diagnostic, and general mol. biol. applications. Thus, oligonucleotides comprising $\alpha-L-RNA$ monomers sometimes exhibited lower hybridization tendencies with DNA than with RNA. The hybridization efficiency may be increased by incorporating LNA monomers into the oligonucleotide. Introduction of $\alpha-L-RNA$ monomers in oligonucleotides increased their resistance to nucleases.

L18 ANSWER 29 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 138:39496 MARPAT Full-text

TITLE: Drying of sugar 1-phosphate salts and storage of

their crystals and their solutions

INVENTOR(S): Matsuba, Yasuko; Ishibashi, Hiroki; Nagahara,

Kiyoteru

PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				
JP 2002371091	A	20021226	JP 2001-179655	20010614
PRIORITY APPLN. INFO.	:		JP 2001-179655	20010614
GI				

$$(HO) p = \begin{bmatrix} R^1 & R^2 & OPO3H2 \\ & & & \\ & &$$

AB Salts of sugar 1-phosphates I [R1, R2 = H, Me, CH2OH, CO2H; R3 = H, acyl, sulfonyl; X = halo, alkoxy, alkylthio; W = O, S; Z = O, S, (un)substituted C; n, r = 0, 1; p, q = 0-3' if Z = O or S, then p + r \leq n + 1, q \leq 2 + (n + 1) - 2 + (p + r); if Z = C, then p + r \leq n + 2, q \leq 2 + (n + 2) - 2 + (p + r)], useful as materials for manufacture of drugs and nutritious foods, are dried under conditions where pH of aqueous solution of the drying crystal is \geq 7.5. Salts of I are stored in the crystal form at \leq 30°. Solns. of I are stored at pH \geq 9. Degradation of I during storage is prevented by keeping basicity of I

upon salt formation. Wet crystal of 2-deoxy- α -D-ribose-1-phosphate ammonium salt (preparation given) was vacuum-dried at $\leq 50^{\circ}$ for 1 h to show content 101.0% and pH of 2% aqueous solution of the dried crystal was 7.7.

L18 ANSWER 30 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

137:309602 MARPAT Full-text

TITLE:

Industrial manufacture of nucleosides

INVENTOR(S):

Matsuba, Yasuko; Ishibashi, Hiroki; Nagahara,

Kiyoteru

PATENT ASSIGNEE(S):

Mitsui Chemicals Inc., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 11 pp.

DOCUMENT TYPE:

CODEN: JKXXAF Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002302498	Α	20021018	JP 2001-104777	20010403
PRIORITY APPLN. INFO.	:		JP 2001-104777	20010403
GI				

$$(HO) p \xrightarrow{R1'} W \xrightarrow{R2'} B (NHR3')_r (R40) p \xrightarrow{R1} W \xrightarrow{R2} OPO3H2 (NHR3)_r$$

$$I \qquad Xq \qquad II$$

$$(HO) p \xrightarrow{R1'} W \xrightarrow{R2'} OPO3H2 (NHR3')_r$$

$$I \qquad Xq \qquad III$$

Nucleosides I [B = base selected from (substituted) pyrimidine, (substituted) purine, (substituted) azapurine, and (substituted) deazapurine; R1', R2' = H, Me, hydroxymethyl, carboxyl; R3' = H, acyl, S02; X = halo, alkoxy, alkylthio; W = O, S; Z = O, S (substituted) C; n, r = 0, 1; p, q = 0-4; when Z is O or S, then p + r \leq n + 1 and q \leq 2 + (n + 1) - 2 + (p + r); when Z is C, then p + r \leq n + 2 and q \leq 2 + (n + 2) - 2 + (p + r)], useful as raw materials for pharmaceuticals, are manufactured by deprotection reaction and exchange reaction between phosphate groups and bases from compds. II (R1, R2 = H, Me,

protected hydroxymethyl, protected carboxyl; R3 = acyl, S02; R4 = protective group for OH; X, W, Z, n, p, q, r = same as above) or their salts without isolation of compds. III (R1'-R3', X, W, Z, n, p, q, r = same as above) or their salts as crystals. 3,5-O-bis(4-chlorobenzoyl)-2-deoxy-D-ribose 1-phosphate (preparation given) was stirred with aqueous KOH at 60° for 11 h, the reaction mixture was cooled to 5° , filtered, and the filtrate containing 2-deoxyribose 1-phosphate was adjusted to pH 8.5 and treated with adenine in the presence of an enzyme preparation of purine nucleoside phosphorylase-producing Escherichia coli transformant MT-10905 at 30° for 24 h to give 2'-deoxyadenosine in 91.4% yield (based on adenine).

L18 ANSWER 31 OF 45 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 137:228094 MARPAT Full-text

TITLE: Termiticidal baits for eliminating termite

colonies

INVENTOR(S): Brode, Philip Frederick, III; Garrett, Garry

Steven; Laughlin, Leo Timothy; Matthews, Randall Stryker; Barker, Dale Edwin; Kinne, Daniel James; Miller, Christopher Miles; Probst, Timothy Robert;

McKibben, Gary Eugene

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA?	rent 1	NO.		KII	D	DATE			APPLICATION NO.					DATE		
WO	2002	0697	04	A	3	2002	1114		W	20	02-U	S620	0	2002	0301	
	RW:	AE, CN, GE, LC, NO, TM, GH, BY, FR, CI,	AG, CO, GH, LK, NZ, TN, GM, KG, GB, CM,	AL, CR, GM, LR, OM, TR, KE, KZ, GR,	AM, CU, HR, LS, PH, TT, LS, MD, IE, GN,	AT, CZ, HU, LT, PL, TZ, MW, RU, IT, GQ,	AU, DE, ID, LU, PT, UA, MZ, TJ, LU, GW,	DK, IL, LV, RO, UG, SD, TM, MC, ML,	DM, IN, MA, RU, UZ, SL, AT, NL, MR,	DZ, IS, MD, SD, VN, SZ, BE, PT, NE,	EC, JP, MG, SE, YU, TZ, CH, SE, SN,	EE, KE, MK, SG, ZA, UG, CY, TR,	ES, KG, MN, SI, ZM, ZM, DE, BF,	ZW, DK, BJ,	GB, KR, MX, SL, AM, ES, CF,	GD, KZ, MZ, TJ, AZ, FI,
	2002								U	s 20	01-7	9918	4	2001	0305	
AU US US US US	S 2003017187 A1			2002 2003 2006 2003 2005	0919 0123 0418 0703 1115 0703		ָט ט	s 20 s 20	02-2 02-1 02-1 02-2	7285 7352	5 7	20020 20020 20020 20020	0617 0617			

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WO 2003105580
                       A1
                            20031224
                                           WO 2003-US17713 20030605
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
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                                           WO 2003-US17714
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    WO 2003106395
                       A1
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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR,
             TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
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                                                             20030605
                            20031231
                                            AU 2003-237401
    AU 2003237401
                       A1
                                                             20030605
                                            AU 2003-243404
    AU 2003243404
                       Α1
                            20031231
                                            WO 2003-US32092
                                                             20031007
                            20040422
                       A2
    WO 2004032625
                            20040910
    WO 2004032625
                       A3
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             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,
             NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ,
             TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                                             20031007
                            20040504
                                            AU 2003-279221
    AU 2003279221
                       A1
                                            US 2004-770195
                                                             20040202
    US 2004170661
                       A1
                            20040902
                            20070102
    US 7157078
                       B2
                                                             20010305
                                            US 2001-799184
PRIORITY APPLN. INFO.:
                                            WO 2002-US6200
                                                             20020301
                                            US 2002-172855
                                                             20020617
                                                             20020617
                                            US 2002-173527
                                                             20021010
                                            US 2002-268356
                                            WO 2003-US17713
                                                             20030605
                                            WO 2003-US17714
                                                              20030605
                                                             20031007
                                            WO 2003-US32092
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GΙ

$$R^{6}R^{4}$$
 X^{1}
 X^{1}

This invention relates to devices, kits, and methods for eliminating termite colonies. The kits, devices, and methods employ a termiticidal bait matrix contain (a) a termiticide (I, X = nil, a hydrocarbon group, O or NR8,R9 where R8 and R9 are H or a hydrocarbon group; X1 = CH, a carbon atom or a heteroatom; R,R1,R2,R3 = H or OH and if R4 and R5 are O and R6 and R7 are H then R,R1,R2 and R3 may be C1-6; R4 and R5 are H, O or N; R9 and R10 are nil, C1-6, and amides) selected such that the termiticide causes death to about 50 to about 100% of termites within about 24 to about 84 days after the termites begin to ingest the termiticide or the bait matrix comprising the termiticide, (b) a cellulose containing material, and (c) water. The termiticidal bait matrix can be used in a bait station installed in the ground. The kits are suitable to be used by consumers in their homes.

L18 ANSWER 32 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 137:79229 MARPAT <u>Full-text</u>

TITLE: Preparation of cytostatic glycoconjugates having

specifically cleavable peptidic linking units

INVENTOR(S): Lerchen, Hans-Georg; Baumgarten, Joerg; Lockhoff,

Oswald

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: Eur. Pat. Appl., 46 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION NO. DATE
	-	
EP 1219634	A1 20020703	EP 2000-128402 20001227
R: AT, BE,	CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT, IE,	SI, LT, LV, FI,	RO, MK, CY, AL, TR
		WO 2001-EP14868 20011217
WO 2002051862	A3 20021010	
W: AE, AG,	AL, AM, AT, AU,	AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
CN, CO,	CR, CU, CZ, DE,	DK, DM, DZ, EC, EE, ES, FI, GB, GD,
		IL, IN, IS, JP, KE, KG, KP, KR, KZ,
LC, LK,	LR, LS, LT, LU,	LV, MA, MD, MG, MK, MN, MW, MX, MZ,
		RO, RU, SD, SE, SG, SI, SK, SL, TJ,
		UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM,	KE, LS, MW, MZ,	SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,

CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002240841 A1 20020708 AU 2002-240841 20011217 US 2002173452 A1 20021121 US 2001-26237 20011221 PRIORITY APPLN. INFO.: EP 2000-128402 20001227 WO 2001-EP14868 20011217

The invention relates to cytostatic glycoconjugates CT-LI-Sp1-Sp2-K AB (CT denotes a cytotoxic radical or a radical of a cytostatic or a cytostatic derivative which can addnl: carry a hydroxy, carboxy or amino group; LI is a linker group comprising 5- to 8-amino acid residues in the D- or L-configuration, which can each optionally carry protective groups; Sp1 is absent or a carbonyl or thiocarbonyl radical; Sp2 is an optionally substituted arylene or alkylene radical; K is an unsubstituted or regioselectively modified carbohydrate radical) and their physiol. acceptable salts, hydrates and stereoisomers. These glycoconjugates have a tumor-specific action as a result of linkage to specific carbohydrate moieties via preferred linking units which can be selectively cleaved by enzymes such as metallomatrix proteases (MMPs), elastase or cathepsins, i.e., by enzymes which can especially be found in tumor tissue. The preferred linking units guarantee sufficient serum stability of the conjugate of cytostatic and carbohydrate moiety and, at the same time, the desired intracellular action within tumor cells as a result of its specific enzymic or hydrolytic cleavability with release of the cytostatic. Thus, p-ROC6H4NHC(S)-Pro-Leu-Gly-His-Val-OR1 (R 6-deoxy-3-0-methyl- β -L- galactopyranosyl, R10 = camptothecin residue) (1) was prepared by reaction of 20(S)-camptothecin with N-(tert-butoxycarbonyl)-L-valine-N- carboxyanhydride, deprotection, peptide coupling reactions, and reaction with the carbohydrate ligand. Compound 1 was assayed for cytostatic action on human large intestine cell line HT29 (IC50 = 70 nM).

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 33 OF 45 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 136:340938 MARPAT Full-text

TITLE: Preparation of antimicrobial 2-deoxystreptamine

compounds

INVENTOR(S): Swayze, Eric; Griffey, Richard H.; Ding, Yili;

Mohan, Venkatraman

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of

U.S. Ser. No. 452,606.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE: Er

FAMILY ACC. NUM. COUNT: 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
us 2002052526	A1	20020502	US 2000-727315	20001130

US 6759523	B2	20040706		
US 6541456	В1	20030401	US 1999-45	2606 19991201
US 200310946	51 A1	20030612	US 2002-29	99220 20021119
US 6967242	В2	20051122		
PRIORITY APPLN. I	NFO.:		US 1999-45	19991201
GT				

The present invention is directed to analogs of amino glycosides I wherein, R1 and R2, are independently amino or protected amino; X is O, S, NH or CH2; Y is a bond or a divalent linking group; R3 is aryl, heteroaryl, substituted aryl or substituted heteroaryl; and one of R4 and R5 is hydroxyl or protected hydroxyl, sugar moiety, of the class having a glycosylated 2-deoxystreptamine (2-DOS) ring as well as their preparation and use as prophylactic or therapeutics against microbial infection. Compds. of the invention comprises at least one aryl, heteroaryl, substituted aryl or substituted heteroaryl group in place of a glycosyl group attached to the 2-deoxystreptamine ring. Thus, 2-deoxy-6-O-(3-nitrobenzyl)-4-O-[3-O-(2,6-Diamino-2,6-dideoxy- β -L-idopyranosyl)- β -D-ribofuranosyl]-streptamine was prepared from neomycin sulfate and tested in vivo on mice male and in vitro as antibacterial agent (MIC \leq 100 μ M).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L18 ANSWER 34 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 135:5766 MARPAT Full-text

TITLE: Preparation of antimicrobial 2-deoxystreptamine

compounds

INVENTOR(S): Swayze, Eric; Griffey, Richard; Ding, Yili; Mohan,

Venkatraman

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2000-US42367 20001130
    WO 2001039726
                      A2
                            20010607
    WO 2001039726
                      Α3
                            20020103
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
            CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
            LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ,
            UA, UG, US, UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                       В1
                                          US 1999-452606
                            20030401
                                                            19991201
    US 6541456
                            20010612
                                          AU 2001-45084
                                                            20001130
    AU 2001045084
                       Α5
                                          US 2002-299220
                                                            20021119
    US 2003109461
                      Α1
                            20030612
                      В2
                            20051122
    US 6967242
                                                            19991201
PRIORITY APPLN. INFO.:
                                          US 1999-452606
                                           WO 2000-US42367 20001130
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GΙ

The present invention is directed to analogs of amino glycosides I wherein, R1 and R2, are independently amino or protected amino; X is O, S, NH or CH2; Y is a bond or a divalent linking group; R3 is aryl, heteroaryl, substituted aryl or substituted heteroaryl; and one of R4 and R5 is hydroxyl or protected hydroxyl, sugar moiety, of the class having a glycosylated 2-deoxystreptamine (2-DOS) ring as well as their preparation and use as prophylactic or therapeutics against microbial infection. Compds. of the invention comprises at least one aryl, heteroaryl, substituted aryl or substituted heteroaryl group in place of a glycosyl group attached to the 2-deoxystreptamine ring. Thus, 2-deoxy-6-O-(3-nitrobenzyl)-4-O-[3-O-(2,6-Diamino-2,6-dideoxy- β -L-idopyranosyl)- β -D-ribofuranosyl]-streptamine was prepared from neomycin sulfate and tested in vivo on mice male and in vitro as antibacterial agent (MIC \leq 100 μ M).

L18 ANSWER 35 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 133:38239 MARPAT Full-text

TITLE: Inhibition of carbohydrate metabolism by quinone

compounds, preparation thereof, and therapeutic

use

INVENTOR(S): Hecht, Sidney M.; Locke, Edward

PATENT ASSIGNEE(S): The University of Virginia Patent Foundation, USA

U.S., 22 pp.

SOURCE:

CODEN: USXXAM

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				
US 6075057	А	20000613	US 1997-831744	19970401
PRIORITY APPLN.	INFO.:		US 1996-14682P	19960401

Optically pure enantiomers of avarol are obtained. The enantiomers of AΒ avarol are demonstrated to be highly effective inhibitors of $\alpha\text{--}$ glucosidase and α -mannosidase. Other enzymes assayed were not inhibited by these optically pure compds. Inhibition of these two enzymes is useful for a variety of assays and probes, and offers particular utility in the treatment of retroviral infection-associated syndromes, such as AIDS. A method for effecting antitumor chemotherapy is also provided.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR 4 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 36 OF 45 MARPAT COPYRIGHT 2007 ACS on STN 129:343328 MARPAT Full-text ACCESSION NUMBER:

Preparation of new benzyl- and (phenylethyl) amine TITLE:

derivatives as medicaments

Anderskewitz, Ralf; Schromm, Kurt; Renth, INVENTOR(S):

Ernst-Otto; Birke, Franz; Jennewein, Hans Michael;

Meade, Christopher John Montague

Boehringer Ingelheim Pharma K.-G., Germany PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PAT	ENT	NO.		KII	ND	DATE			A)	PPLI(CATI	ON NO	o. 	DATE			
WO	9849	131		 A	 1	 1998:	1105		W) 199	98-E	P253	C	1998	0429		
	W:	AU,	BG,	BR,	BY,	CA,	CN,	CZ,	EE,	HU,	ID,	IL,	JP,	KR,	ΚZ,	LT,	
		LV,	MX,	NO,	NΖ,	PL,	RO,	RU,	SG,	SI,	SK,	TR,	UA,	US,	UZ,	VN,	YU
	RW:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	
		NL,	PT,	SE													
CN	1204	315		Α		19990	0106					9895		1996			
DE	1971	8334		Α	1 .	1998	1105		D)	E 199	97-1	9718		1997			
ZA	9803	523		A		1998	1030		$\mathbf{Z}_{\mathbf{z}}$	A 199	98-3	523		1998			
CA	2287	991		Α	1	1998:	1105		C	A 19	98-2	2879		1998			
ΑU	9877	600		Α		1998						7600		1998			
ΕP	9803	51		A	1	2000	0223		E.	P 199	98-9	2550	0	1998	0429		
EΡ	9803					2004											
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	
			ΙE,														
JΡ	2001	5249	66	Т		2001	1204		J	P 19:	98-5	4660	9	1998	0429	•	

AT	259777		${f T}$	20040315	AT	1998-925500	19980429
PT	980351		T ·	20040730	PT	1998-925500	19980429
ES	2214711		Т3	20040916	ES	1998-925500	19980429
МX	9909960		A	20000630	MX	1999-9960	19991028
US	6288277		В1	20010911	US	2000-423160	20000403
PRIORIT	Y APPLN.	<pre>INFO.:</pre>			DE	1997-19718334	19970430
					WO	1998-EP2530	19980429

GΙ

$$R^{1}$$
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 R^{3}

The title compds. [I; X, Y = O, NH, NMe2, CH2; R1, R2 = H, OH, F, C1, AΒ Br, iodo, C1-6 alkyl, O(C1-6 alkyl), CF3; R3 = H, NH2, NHCOR5; R4 = H, CH2NH2, CH2NHCOR5; R5 = H, C1-6 alkyl, (un) substituted Ph, O(C1-6 alkyl); A = CR6R7, CO, SOx, O; R6 = H, C1-4 alkyl, CF3, etc.; R7 = H, C1-4 alkyl, etc.; B = C1-6 alkyl, Ph, naphthyl, thienyl, pyridyl, etc.; x = 0-2; with provisos] and their optical isomers, mixts. of enantiomers, racemates and salts with pharmaceutically acceptable acids, LTB4 antagonists useful for the therapy of arthritis, asthma, chronical lung diseases, , psoriasis, cystic fibrosis, Alzheimer's disease, etc., were prepared For example, dissolving 1.15 g 4-(H2NCH2CH2)C6H4OH in 15 mL MeOH, adding 1.5 g NaOMe (30% solution in MeOH), evaporating the mixture, adding the residue to a solution of 2.93 g 3-[4-(2-phenylpropyl)phenoxymethyl]benzyl chloride in 25 mL MeCN, stirring the whole for 3 h at 60-70°, evaporating the solvents and treating the residue with alc. HCl gave 1 g II-HCl (m. 145°). Approx. 34 I were prepared and Ki values for approx. 32 I varying between 0.5 and 263 nM were given.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

II

ANSWER 37 OF 45 MARPAT COPYRIGHT 2007 ACS on STN L18 ACCESSION NUMBER:

2

129:149185 MARPAT Full-text

TITLE:

Preparation of glycosides and thioglycosides as drug carriers for nephrotropic drugs

INVENTOR(S):

Suzuki, Kokichi; Ito, Teruomi; Ando, Takashi; Toma, Kazumori; Susaki, Hiroshi; Okuno, Satoshi;

Watanabe, Hiroshi

PATENT ASSIGNEE(S):

Drug Delivery System Institute, Ltd., Japan; Meiji

Seika Kaisha, Ltd.; Asahi Kasei Kogyo K. K.

SOURCE:

PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

W: CA, CN, JP, KR, US

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE

EP 953357 A1 19991103

EP 1997-944099 19971009

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,

PT, IE, FI

PRIORITY APPLN. INFO.:

JP 1997-19714 19970117 WO 1997-JP3642 19971009

GΙ

Nephrotropic drugs and drug carriers delivering drugs carried thereon AΒ specifically to the kidney with the use of partial structures specifically recognized in the kidney. Since partial structures represented by the general formula of glycosyl such as glucosyl, mannosyl or 2-deoxyglucosyl derivative [I; T = O, S, NH; one of R1 and R2 = H and the other = OH or F; one of R3 and R4 = H and the other = OH; R5 = OH, F; R6 = H, CH2OH; U = O, S, NH; V = (un) substituted C6-18 aromatic hydrocarbyl, linear or branched C1-18 aliphatic hydrocarbyl] are nephrotropic, objective drugs can be obtained by introducing mols. with these structures into drugs. Compds. having such a partial structure together with another partial structure enabling the carriage of drugs are usable as carriers capable of delivering the drugs carried thereon specifically to the kidney. Thus, 9-(1-thio- β glucopyranosyl) nonanoic acid was stirred with DCC in DMF for 30 min and then condensed with doxorubicin at room temperature overnight to give N-[9-(1-thio- β -glucopyranosyl)nonanoyl]doxorubi cin (II). injected into rats to show kidney clearance of II 1.31±0.04 mL/min/g

and kidney concentration of II $5.8\pm0.3\%$ of dose/g vs. 0.54 ± 0.10 mL/min/g and $1.9\pm0.2\%$ of dose/g, resp., for the doxorubicin.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L18 ANSWER 38 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

4

ACCESSION NUMBER:

129:41376 MARPAT Full-text

TITLE:

Preparation of sugar-substituted 2-azetidinones

useful as hypocholesterolemic agents

INVENTOR(S):

Yumibe, Nathan P.; Alton, Kevin B.; Van Heek,

Margaret; Davis, Harry R.; Vaccaro, Wayne D.

PATENT ASSIGNEE(S):

Schering Corp., USA

SOURCE:

U.S., 18 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5756470	A	19980526	US 1996-741179	19961029
CN 1205707	A	19990120	CN 1996-199226	19961029
CN 1103780	В	20030326		
PRIORITY APPLN. IN	NFO.:		US 1996-741179	19961029
GI		•		

AB Hypocholesterolemic sugar-substituted 2-azetidinones I (R = H, OH, sugar; R1 = alkylene, cycloalkylene, phenylene, alkenylene; G = sugar residue; Q = bond, spiro group; Ar, Ar1 = aryl), are disclosed, as well as a method of lowering cholesterol by administering said compds., pharmaceutical compns. containing them, and the combination of a sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis. Thus, 1-O-[4-[trans-(3R,4S)-1-(4-fluorophenyl)-2-oxo-3-[3-[(S)-hydroxy-4-fluorophenylpropyl]]-4-azetidinyl]phenyl]-β-D- glucuronic acid was prepared as anticholesteremic agent 58 % reduction in plasma cholesterol with 3 mg/kg dose in hamsters.

17

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L18 ANSWER 39 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 126:156482 MARPAT Full-text

TITLE: Method of producing derivatives of

 β -D-glucosyl-1,4-N-acetyl- β -D-glucose

INVENTOR(S): Nilsson, Kurt G. I.

PATENT ASSIGNEE(S): Bioflexin Ab, Swed.; Nilsson, Kurt G. I.

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

LANGUAGE:

•	PAT	CENT 1	NO.		KII	ND 1	DATE			A1	PPLI	CATI	ON NO	o. 	DATE	_	
	WO	9703	206		A	1.	1997	0130		W	o 199	95-II	B561		1995	0713	
		W:	AM,	AT,	ΑU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	ES,
			FI,	GB,	GE,	HU,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LK,	LR,	LT,	LU,
,			LV,	MD,	MG,	MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,
			SI,	SK,	ТJ,	TM,	TT										
		RW:	ΚE,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,
			IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,
			MR,	NE,	SN,	TD,	TG										
	AU	9528	060		Α		1997	0210		A	U 19	95-2	8060		1995	3713	
	ΕP	8392	10		A	1	1998	0506		E	P 19	95-9	2352	4	1995	0713	
	ΕP	8392	10		В	1 .	2000	1011		,							
		R:	AT,	BE,				ES,									
		1969													1995		
	US	6077	695		A		2000	0620									
PRIO	RIT	APP	LN.	INFO	.:					W	0 19	95-I	B561		1995	0713	
OTHE	R SC	DURCE	(S):			CAS	REAC	T 12	6:15	6482							

AB Disclosed is a method of producing a compound which contains the $G1c\beta1-4G1cN$ structure involving reacting ≥ 1 donor substance $G1c\beta0R$ where R is an organic group, and ≥ 1 acceptor substance which is a glucopyranosamino derivative having the formula G1cNR''-R''', wherein NR'' is an azido, 2-N-acetyl-, 2-N-phthalimido, or an organic group bound to the 2-N-group of glucosamine, wherein R''' is a glycosidically bound fluoro or is an O-, C-, N- or S-glycosidically bound aliphatic or aromatic compound, with the optional proviso that if NR'' is NHAc then R''' is not OH and if NR'' is not NHAc then R''' may be OH, in the presence of Bullera singularis or an enzyme commission (E.C.) group 3.2 glycosidase of essentially the same structure as an E.C. group 3.2 glucosidase obtained from B. singularis to form the $G1c\beta1-4G1cN$ derivative; and optionally isolating the compound which contains the $G1c\beta1-4G1cN$ structure.

L18 ANSWER 40 OF 45 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 126:31574 MARPAT Full-text

TITLE: Preparation of carbohydrate-modified cytostatic

agents.

INVENTOR(S): Lerchen, Hans-Georg; von dem Bruch, Karsten;

Petersen, Uwe; Baumgarten, Joerg; Piel, Norbert;

Antonicek, Horst; Weichel, Walter; Sperzel,

Michael; Bremm, Klaus Dieter

PATENT ASSIGNEE(S):

SOURCE:

Bayer A.-G., Germany Ger. Offen., 106 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT											ICATI		ο.	DATE			
DE CA	1951 2217	 2484 164		A:	 l l	1996 1996	1017 1010		DI C <i>i</i>	 E 1 A 1	995-1 996-2 996-E	- - 95124 21716	484 64	1995	0404		
WO	9631	532		A.	1	1996	1010		W	0 1	.996-E	P1279	9	1996	0322		
	W:	ΑU,	BB,	ΒG,	BR,	BY,	CA,	CN,	CZ,	EE	HU,	IS,	JP,	KE,	KP,	KR,	
											, RU,						VN
	RW:	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB	B, GR,	IE,	IT,	LU,	MC,	NL,	
		PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ	, GN,	\mathtt{ML} ,	MR,	NE,	SN,	TD,	ΤG
AU	9653	976		Α		1996	1023		Αľ	U 1	.996-5	3976		1996	0322		
AU	7134	66		В	2	1999	1202										
ΕP	8191	35		A	1	1998	0121		E	P 1	996-9	1092	6	1996	0322		
	8191					1999	1117										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	R, IT,	LI,	LU,	NL,	SĒ,	MC,	
		PT,	IE,	SI,	LT,	LV,	FΙ								•		
CN	1185	786		Α		1998	0624		C	N 1	996-1	9418	0	1996	0322		
HU	9800	513		A	2	1998	0629		H	U 1	998 - 5	13		1996	0322		
BR	9604	825		Α		1999	0105		B:	R 1	1996-4	825		1996	0322		
JΡ	1150	2860		Т		1999	0309		J	P 1	L996-5	2993	4	1996	0322		
ΑT	1150 1867	38		Т		1999	1215		A'	T 1	L996-9	1092	6	1996	0322		
ES	2140	078		T	3	2000	0216		Ε	s 1	L996-9	1092	6				
RU	2170	234	4	С	2	2001	0710				L997-1						
	2817			В	6	2001	0710				1997-1						
	3619			В	1	2002	0215				1997-2				0322		
$_{ m PL}$	1835	74		В	1	2002	0628				1996-3			1996	0322		
	2910					2002	1211		С	Z 1	1997-3	143		1996	0322		
TW	3842					2000	0311		T	W 1	1996-8	5111	956				
ZA	9608					1997	0520		Z	A 1	1996-8	274		1996	1002		
	6304					2001	0228				1997-1						
	6271			В	1	2001	0807		U	S 1	1997-9	3054	6	1997	0925		
	9704	564		A		1997	1125				1997-4						
_	3032	605		Т	3	2000	0531				2000-4						
	2000					2005	0311				2000-1						
RIT	Y APF	PLN.	INFO	.:					D		1995-1						
									W	0 3	1996-н	EP127	9	1996	0322		

GΙ

$$Q^{3} = \frac{R^{1}}{N}$$

KQ1Q2A1A2R [K = (regioselectively modified) carbohydrate residue; Q1 =AΒ (substituted) arylene, alkylene; Q2 = HNC(:S), Q3; A1, A2 = D- or Damino acid residue, bond; R = residue of a cytostatic agent; R1 = C1, hydroxyalkylamino], were prepared Thus, N-[N α , N ϵ - bis[O-(3-O-methyl- β -D-fucosyl)-4-hydroxyphenylaminothiocarbonyl]- D-lysyl]quinolone A (preparation given) at 100 mg/kg in mice implanted with B 16 F 10 tumor cells gave a 35-day survival rate of 90%, vs. 10% for controls.

I.18 ANSWER 41 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

124:56563 MARPAT Full-text

TITLE:

Preparation of biphenylyl monosaccharide

glycosides as inhibitor of binding of E-selectin or P-selectin to sialyl Lewisx or sialyl-Lewisa Kogan, Timothy P.; Dupre, Brian; Scott, Ian L.;

INVENTOR(S):

Keller, Karin; Dao, Huong; Beck, Pamela J.

Texas Biotechnology Corporation, USA

PATENT ASSIGNEE(S):

U.S., 23 pp.

SOURCE:

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND DATE	APPLICATION NO. DATE
ÚS 5444050 CA 2189013 WO 9529682	A1 19951109	
		BY, CA, CH, CN, CZ, DE, DK, EE, ES,
		KE, KG, KP, KR, KZ, LK, LR, LT, LU,
		NO, NZ, PL, PT, RO, RU, SD, SE, SG,
	TJ, TM, TT	
		BE, CH, DE, DK, ES, FR, GB, GR, IE,
IT, LU,	MC, NL, PT, SE,	BF, BJ, CF, CG, CI, CM, GA, GN, ML,
MR, NE,	SN, TD, TG	
	A 19951129	
AU 691920		
	A1 19970219	
	B1 20030312	
	, CH, DE, DK, ES,	FR, GB, GR, IE, IT, LI, LU, MC, NL,
PT, SE	A 19970604	CN 1995-193539 19950428
CN 1151117 BR 9507561		
	T 19971216	
0F 09312300	1 100/1210	, 01 1990 020190 19900120

AT 234102 Т 20030315 AT 1995-918365 19950428 19961230 NO 1996-4566 19961028 NO 9604566 Α TW 457246 В 20011001 TW 1996-85115658 19961219 US 1994-235293 PRIORITY APPLN. INFO.: 19940429 WO 1995-US5463 19950428

OTHER SOURCE(S): CASREACT 124:56563

GI

The title compds. [I; X = (CH2)nCO2H, O(CH2)mCO2H, (CH2)nO(CH2)mCO2H, AΒ CONH(CH2)mCO2H, CH(OZ)CO2H, CH(Z)CO2H, (CH2)nSO3H, (CH2)nPO3D1D2, NH(CH2)mCO2H, CONH(CHR6)CO2H, 1-H-tetrazolyl-5-alkyl, OH; R1, R2 = H, alkyl, halo, OZ, NO2, NH2, NHZ; R3 = H, halo, alkyl, OZ, NHZ; R4 = H, halo, alkyl, OH, hydroxyl-O-sulfate, OZ; R5 = HO, cyano, N3, NH2, NHNH2, NE1E2, NHE1, NHCO(CH2) nCO2H, S(CH2) mCO2H, NHCHNHNH2; R6 = H, alkyl, aralkyl, hydroxyalkyl, aminoalkyl, alkyl, carboxylic acid, alkyl carboxamide; wherein n = 0-6; m = 1-6; p = 0-6; b = 0-2; Z = 0-6alkyl, aryl or aralkyl; D1, D2 = H, alkyl; E1 = alkyl, (CH2)8CO2H; E2 = alkyl] and the pharmaceutically acceptable salts, esters, amides, and prodrugs thereof are prepared This invention also relates to methods of inhibiting the binding of E-selectin and/or P-selectin to sialyl-Lewisx or sialyl-Lewisa presented on a cell surface using said compds. and to pharmaceutically active compns. comprising compds. that inhibit the binding of E-selectin to sialyl-Lewisx and to methods of treatment of septic shock, adult respiratory distress syndrome (ARDS), Crohn's disease, chronic inflammatory diseases, such as psoriasis and rheumatoid arthritis, and reperfusion injuries that occur following heart attacks, strokes and organ transplants (no data). Thus,.

L18 ANSWER 42 OF 45 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 123:196752 MARPAT Full-text

TITLE: Method of producing derivatives of lactosamine

INVENTOR(S): Nilsson, Kurt

PATENT ASSIGNEE(S): Glycorex AB, Swed.

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

Engi

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT	NO.		KII	1D	DATE			Al	PPLI(CATI	N NC	o.	DATE		
WO	9518	864		Α.	L	1995	0713		M) 19:	95 - S1	E10		1995	0109	
	W:	AM,	AT,	ΑU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	ES,
		FI,	GB,	GE,	HU,	JP,	KE,	KG,	ΚP,	KR,	KΖ,	LK,	LR,	LT,	LU,	LV,
		MD,	MG,	MN,	MW,	MX,	NL,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SI,
		SK,	ТJ,	TT,	UA,	US										
	RW:	KE,	MW,	SD,	SZ,	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,
		LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	\mathtt{ML} ,	MR,
		ΝE,	SN,	TD,	ΤG											
AU	9514	298		Α		1995	0801		Αl	U 19	95-1	4298		1995	0109	
ΕP	7331	19		A.	1	1996	0925		E	P 19	95-9	0584	0	1995	0109	
	R:	ΑT,	CH,	DE,	ES,	FR,	GB,	IT,	LI,	SE		•				
	1138								CI	N 19	95-1	9116	7	1995	0109	
	1117															
JP	0951	0694		T		1997	1028							1995		
RU	2135	585		C		1999						1593		1995		
	6653					2003								1996		
US	2004	1624	24	A	1	2004	0819		Ü	S 20	03-6	7919		2003		
PRIORIT	Y APP	LN.	INFO	.:					S	E 19	94-3	4		1994		
									W	0 19	95-S	E10		1995		
									U	S 19	96-6	6654	2	1996	0628	

OTHER SOURCE(S): CASREACT 123:196752

Disclosed is a method of producing compds. with $\beta 1-4$ linkage which contains the lactosamine structure involving reacting ≥ 1 donor substance Gal β OR where R is an organic group, and ≥ 1 acceptor substance which is a glucopyranosamino derivative having the formula GlcNR'-R'', wherein NR' is an azido, 2-N-acetyl-, 2-N-phthalimido, or an organic group bound to the 2-N group of glucosamine, wherein R'' is a glycosidically bound F- or is an O-, C-, N-, or S- glycosidically bound aliphatic or aromatic compound, with the proviso that if NR' is NHAC then R'' is not OH and if NR' is not NHAC then R'' may be OH, in the presence of Bullera singularis or an E.C. group 3.2 glycosidase of essentially the same structure as an E.C. group 3.2 glycosidase obtained from B. singularis to form the lactosamine derivative; and optionally isolating the compound with $\beta 1-4$ linkage which contains the lactosamine structure.

L18 ANSWER 43 OF 45 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 120:107754 MARPAT Full-text

TITLE: Preparation of glycopeptides as antithrombotics

INVENTOR(S): Kolar, Cenek; Stueber, Werner

PATENT ASSIGNEE(S): Behringwerke Aktiengesellschaft, Germany

SOURCE: Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	CENT 1	NO.		KIN	D	DATE			AP	PLI	CATI	ON N	10.	DATE			
EP	5589	- 		A2	_	1993	0908		EP	19	 93-1	0204	18	1993	0210		
EΡ	5589	61		A3		1994	0914										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙĖ,	IT,	LI,	LU,	NL,	PT,	SE
DE	4206	858		A1		1993	0909		DE	19	92-4	2068	358	1992	0305		
CN	1077	961		Α		1993	1103		CN	19	93-1	0152	28	1993	0215		
IL	1049	31		A		1996	1031		${ t IL}$	19	93-1	0493	31	1993	0303		
CA	2091	024		A1		1993	0906		CA	19	93-2	0910	24	1993	0304		
NO	9300	796		Α		1993	0906		NO	19	93-7	96		1993	0304		
AU	9333	950		Α		1993	0909		AU	19	93-3	3950)	1993	0304		
AU	6582	67		В2		1995	0406										
ZA	9301	538		А		1993	0927		ZA	19	93-1	538		1993	0304		
JР	0602	5291		А		1994	0201		JP	19	93-4	3128	3	1993	0304		
US	5556	941		A		1996	0917		US	19	94-2	9172	29	1994	0816		
PRIORIT	Y APP	LN.	INFO	. :					DE	19	92-4	2068	358	1992	0305		
									US	19	93-2	5798	8	1993	0303		

GΙ

The title compds. [I; Q = benzene, naphthalene, chroman, chromene, or AΒ coumarone residue; a = 1-5; b = 0-4; c = 0,1; d = 1,2; R1 = H, alkyl; R2 = H, alkyl, alkoxy; R3 = H, OH, alkoxy, NH2, alkanoylamino, PhCONH, HO3SNH, acylamino, natural N-acetylated amino acid residue; R4= H, OH, alkoxy; R5 = H, OH, alkoxy, F, Cl, Br; R6 = H, Me, Ch2OH, alkanoyloxymethyl, Ch2NJCOMe, CH2NHSO3H; or R5R6=OCH2OCH2, OCHMeOCH2, OCMe2OCH2; R7 = hydroxyalkyl, alkoxyalkyl; R8 = H, alkyl; or NR7R8 = (substituted) pyrrolidinyl, piperidinyl, morpholinyl; W = O, CONH, C6H4CONH; HX = HCl, alkanoic acid, physiol. acceptable (in)organic acid], were prepared Thus, 2-N-(4-methoxy-2,3,6trimethylbenzenesulfonyl) - asparaginyl-4-amidino-D-phenylalanine piperidide hydrochloride was condensed with $\beta\text{-D-galactopyranosylamine}$ using hydroxylbenzotriazole/DCC in DMF/CH2Cl2 to give 2-N-(4-methoxy-2.3-6- trimethylbenzenesulfonyl)-4-N-(β -Dgalactopyranosyl)asparaginyl-4- amidino-D-phenylalanine piperidide

Ι

hydrochloride. This inhibited thrombin and trypsin with Ki = 0.04 and 375 nM, resp.

L18 ANSWER 44 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

116:54613 MARPAT Full-text

TITLE:

Use of 1-aryl semicarbazides for stabilization of

enzyme substrates

INVENTOR(S):

Mangold, Dieter

PATENT ASSIGNEE(S):

Boehringer Mannheim G.m.b.H., Germany

SOURCE:

Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 433853	A1	19910626	EP 1990-123834	19901211
EP 433853	В1	19950816		
R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IT, LI, LU	, NL, SE
DE 3942356	A1	19910627	DE 1989-3942356	19891221
ES 2077624	Т3	19951201	ES 1990-123834	19901211
JP 06217796	Α	19940809	JP 1990-413423	19901221
JP 07071516	В	199508.02		
US 5391482	A	19950221	US 1990-633213	19901221
PRIORITY APPLN. INFO	.:		DE 1989-3942356	19891221

Aryl-substituted 1-arylsemicarbazides are used as stabilizing agents for enzyme reactions that generate a colored compound from a colorless reaction product with an oxidizing agent. These compds. are particularly suited for use when the test substrate is a N-substituted 4-amino phenol. The synthesis of chromogenic substrates containing (4-hydroxyphenyl)-(pyrazolo-[1,5-a]-pyridine-3-yl)amine derivs. as the chromogenic group is described. Test strips containing one such substrate for N-acetyl-eta-D-glucosaminidase, Ph semicarbazide as stabilizer, citrate buffer, and KI failed to develop a background color after 3 wk at 45° although strips lacking the semicarbazide showed some color development.

L18 ANSWER 45 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

115:256188 MARPAT Full-text

TITLE:

Preparation of azolylmethyl(phenoxyphenyl)thiazoli

dine, -dithiane, and analogs as agrochemical

microbicides

INVENTOR(S):

Riebli, Peter; Hubele, Adolf

PATENT ASSIGNEE(S):

Ciba-Geigy A.-G., Switz. Eur. Pat. Appl., 34 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

German

PATENT INFORMATION:

64

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				
EP 443980	A1	19910828	EP 1991-810032	19910116
R: AT, B	E, CH, DE	, DK, ES, FR,	GB, IT, LI, NL	
PRIORITY APPLN. IN	FO.:		CH 1990-241	19900125
GI .				

Title compds. [I; R, R1 = halo, (halo)alkyl, (halo)alkoxy, NO2, cyano; Q = N, CH; X, Y = O, S; Z = S, NH, alkylimino, (substituted) methylene; A = (substituted) CH2CH2, CH2CH2CH2; m = 0-5; n = 0-4], were prepared Thus, title compound II was prepared by refluxing a mixture of the corresponding ketone with HSCH2CH2NH2.HCl and Et3N in PhMe/BuOH with removal of H2O. Several I as 0.02% sprays gave 95-100% control of Cercospora arachidicola on peanut plants.

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495 S "FRICK W"?/AU
L19 .
L20
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L21
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L22
           1249 S "HEUER H"?/AU
L23
             26 S "BRUMMERHOP H"?/AU
             57 S "PLETTENBURG O"?/AU
L24
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L25
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L26
            154 S L20 AND (L21 OR L22 OR L23 OR L24)
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            45 S L21 AND (L22 OR L23 OR L24)
L28
            12 S L22 AND (L23 OR L24)
L29
            10 S L23 AND L24
L30 .
            44 S (L19-L24 OR L26-L28) AND (FLUOROGLYCOSIDE OR GLYCOSIDE)
L31
L32
             3 S L31 AND AROMAT?
              6 SEA ABB=ON PLU=ON (L19-L24 OR L26-L28) AND (FLUOROGLYCOSIDE
L33
                 OR (F OR FLUORIN? OR FLUORO) (5A) GLYCOSIDE)
             14 SEA ABB=ON PLU=ON L25 OR L29 OR L30 OR L32 OR L33
L34
              9 DUP REM L34 (5 DUPLICATES REMOVED)
L35
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L35 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2006:605879 HCAPLUS Full-text

DOCUMENT NUMBER: 145:76671

TITLE: Substituted cyclopropane dicarboxylates for

producing drugs for use in the treatment of

metabolic syndrome

INVENTOR(S): Kadereit, Dieter; Stengelin, Siegfried;

Heuer, Hubert; Brummerhop, Harm

PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

LANGUAGE: Ger FAMILY ACC. NUM. COUNT: 1

PAT	TENT	NO.			KIN	D	DATE		i	APPL	ICAT:	ION I	NO.		D2	ATE
WO 2006063681					A1 20060622			WO 2005-EP12763						20051130		
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,
							CZ,									
							HR,									
							LK,									
		MK.	MN,	MW,	MX,	MZ,	NA,	NG,	NI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,
•							SG,									
							VC,									

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,

ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

A1 20060629 DE 2004-102004060041 DE 102004060041 PRIORITY APPLN. INFO.: DE 2004-102004060041A 20041214

DE 2005-102005039245A 20050819

OTHER SOURCE(S):

MARPAT 145:76671

GΙ

The invention discloses the use of substituted cyclopropane AΒ dicarboxylates I [R1 = H, C1-20 alkyl, aryl, etc.; R2 = C1-20 alkyl, C2-20 alkenyl, etc.; R3-R6 = H, OH,], and physiol. acceptable salts thereof, for producing drugs for use in the treatment of metabolic syndrome. Compound preparation is included.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 2 OF 9 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on

STN

ACCESSION NUMBER:

2007:13824 BIOSIS Full-text

DOCUMENT NUMBER:

PREV200700017545

4

TITLE:

Thiophene glycoside derivatives, processes for the preparation, medicaments comprising these compounds,

and the use thereof.

AUTHOR(S):

Anonymous; Glombik, Heiner [Inventor]; Frick, Wendelin [Inventor]; Heuer, Hubert [Inventor]; Kramer, Werner

[Inventor]; Brummerhop, Harm [Inventor];

Plettenburg, Oliver [Inventor]

CORPORATE SOURCE:

Hofheim, Germany

ASSIGNEE: sanofi aventis Deutschland GmbH

PATENT INFORMATION: US 07101856 20060905

SOURCE:

Official Gazette of the United States Patent and

Trademark Office Patents, (SEP 5 2006)

CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE:

Patent

LANGUAGE:

English

ENTRY DATE:

Entered STN: 20 Dec 2006

Last Updated on STN: 20 Dec 2006

AB Novel thiophene glycoside derivatives of the formula I: in which the radicals have the stated meanings, and the physiologically tolerated salts thereof and processes for their preparation are disclosed. The compounds are suitable, for example, as antidiabetics.

L35 ANSWER 3 OF 9 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on

STN

ACCESSION NUMBER: 2007:71067 BIOSIS Full-text

DOCUMENT NUMBER: PREV200700069934

TITLE: Effects of the SGLT2-inhibitor AVE2268 on urinary

glucose excretion (UGE) and blood glucose in mice, rats

and dogs.

AUTHOR(S): Bickel, M. [Reprint Author]; Brummerhop, H.;

Glombik, H.; Frick, W.; Heuer, H.; Plettenburg, O.; Werner, U.;

Kramer, W.

CORPORATE SOURCE: Sanofi Aventis Pharma Deutschland GmbH, Frankfurt,

Germany

SOURCE: Diabetologia, (SEP 2006) Vol. 49, No. Suppl. 1, pp.

358-359.

Meeting Info.: 42nd Annual Meeting of the

European-Association-for-the-Study-of-Diabetes (EASD). Copenhagen, DENMARK. September 14 -17, 2006. European

Assoc Study Diabet.

CODEN: DBTGAJ. ISSN: 0012-186X.

DOCUMENT TYPE: Conference; (Meeting)

Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 24 Jan 2007

Last Updated on STN: 24 Jan 2007

L35 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2005:1328798 HCAPLUS Full-text

DOCUMENT NUMBER: 144:51831

TITLE: Synthesis of fluoro-glycoside

derivs. of pyrazoles for use in treatment of diabetes or for lowering blood sugar levels

INVENTOR(S): Brummerhop, Harm; Frick,

Wendelin; Glombik, Heiner;

Plettenburg, Oliver; Bickel, Martin;

Heuer, Hubert; Theis, Stefan

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
             GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,
             MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,
             SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA,
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             GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                                             DE 2004-102004028241
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                                             AU 2005-252329
                                                                    20050603
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                          A1
                                             CA 2005-2570042
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    CA 2570042
                          A1
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             IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR,
             AL, BA, HR, LV, MK, YU
                                                                     20050603
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                          Α
                                20070516
    NO 2007000176
                          Α
                                20070309
                                             NO 2007-176
                                                                     20070110
                                             DE 2004-102004028241A
                                                                    20040611
PRIORITY APPLN. INFO.:
                                             WO 2005-EP5959
                                                                    20050603
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OTHER SOURCE(S):

MARPAT 144:51831

GΙ

HC
$$=$$
 CH $=$ CH $=$ CH $=$ CH $=$ Bu $=$ Pr $=$ HO $=$ CH2 $=$ NH $=$ Bu $=$ Pr $=$ HO $=$ NH $=$ Pr $=$ HO $=$ NH $=$ Pr $=$ HO $=$ Pr $=$ Pr $=$ HO $=$ Pr $=$ Pr $=$ HO $=$ Pr $=$ Pr $=$ HO $=$ Pr $=$

The invention relates to substituted fluoro- glycoside derivs. of pyrazoles, e.g. (I), and their physiol. compatible salts, which inhibit Na+-dependent glucose transporter 1 (SGLT-1) and to a method for their production Thus, 1-bromo-4-deoxy-4- fluoro-2,3,6-tri-0-benzoyl- α -D-glucopyranose was prepared from Me 2,3,6-tri-0-benzoyl α -D-galactopyranose in 3 steps, and reacted with 4-(4-bromo-benzyl)-5-isopropylpyraz-3-ol, prepared from Me 4-methyl-3-oxopentanoate in 2 steps, to give the β -linked pyrazole intermediate (II). II was then reacted with 3-butenoic acid, followed by a condensation reaction with n-butylamine and deprotection of the sugar oxygens to give I. In in vitro tests using CHO-TRex-hSGLT1 cell line (derivation given), measuring the concentration at which uptake of Me α -D-glucopyranoside was reduced by 50%, I had IC50 value of 0.043 μ M.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 3

ACCESSION NUMBER:

2004:515522 HCAPLUS Full-text

DOCUMENT NUMBER:

141:38811

TITLE:

Synthesis of fluoroglycoside

heterocyclic derivatives for use as antidiabetic

pharmaceutical products

INVENTOR(S):

Frick, Wendelin; Glombik, Heiner; Kramer, Werner; Heuer, Hubert; Brummerhop, Harm; Plettenburg,

Oliver

PATENT ASSIGNEE(S):

Aventis Pharma Deutschland GmbH, Germany

SOURCE:

PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PAT	ENT I						DATE				ICAT	ION I	NO.		DA	ATE	
WO								WO 2003-EP13455						20031128			
	W:										BG,						
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											IN,						
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											PT,						
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			ZA,														
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											IT,						
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CN	1723 2006 3237	214			A		2006	0118								0031128	
JΡ	2006	5106	44		T		2006	0330	JP 2004-557953 AT 2003-782250						20031128 20031128		
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NO	2005	0032	UΙ		А		2005	0004		NO A	2005-	J Z U I			۷		

A 20021212 PRIORITY APPLN. INFO.: DE 2002-10258008

> 20030429 US 2003-466449P

> WO 2003-EP13455 W 20031128

MARPAT 141:38811 OTHER SOURCE(S):

GΙ

HO
$$CH_2$$
 OH OH $CH_2 - p-C6H_4 - OMe$

The invention relates to substituted fluoroglycoside heterocyclic AΒ derivs., e.g. (I), to their physiol. tolerated salts, and to methods for the preparation thereof. Title compds. can be used, for example, as antidiabetic agents. Thus, 2,3,6-tri-O-acetyl-4-deoxy-4- fluoro- α -D-galactopyranosyl bromide was reacted with (3-hydroxy-2-thienyl)(4methoxyphenyl) -methanone and the product deacetylated to give I. In in vitro tests measuring the uptake of 14C-labeled glucose using rabbit gastrointestinal brush-border membrane vesicles, I had IC50 0.3 μM, compared with 16 μM for Phlorizin control.

L35 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 4

2004:515521 HCAPLUS Full-text ACCESSION NUMBER: 141:38810

DOCUMENT NUMBER:

Synthesis of aromatic TITLE:

fluoroglycoside derivatives for use as

Ι

antidiabetic agents

Frick, Wendelin; Glombik, Heiner INVENTOR(S):

; Kramer, Werner; Heuer, Hubert ; Brummerhop, Harm; Plettenburg,

Aventis Pharma Deutschland GmbH, Germany PATENT ASSIGNEE(S):

PCT Int. Appl., 89 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

German LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052902	A1	20040624	WO 2003-EP13454	20031128
W: AE, AG,	AL, AM, AT	, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, ČA,
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GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP,
             KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
             MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN,
             YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,
             DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
             SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
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                                 20040902
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                                             AU 2003-298149
                                             EP 2003-795853
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PRIORITY APPLN. INFO.:
                                                                     20030429
                                             US 2003-466329P
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OTHER SOURCE(S): GI

MARPAT 141:38810

The invention relates to substituted aromatic fluoroglycoside derivs., e.g., (I), to their physiol. tolerated salts, and methods for the preparation thereof. Title compds. can be used, for example, as antidiabetic agents. Thus 2,3,6-tri-O-acetyl-4-deoxy-4-fluoro- α -D-galactopyranosyl bromide was reacted with 3-benzofuran-5-yl-1-(2,6-dihydroxy-4-methylphenyl)propan-1-one and the product deacetylated to give I. In in vitro tests measuring the uptake of 14C-labeled glucose

using rabbit brush-border membrane vesicles, I had IC50 0.4 μM , compared with 16 μM for Phlorizin control.

L35 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 5

ACCESSION NUMBER:

2004:60527 HCAPLUS Full-text

DOCUMENT NUMBER:

140:111628

TITLE:

Synthesis and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or

for lowering blood sugar levels

INVENTOR(S):

Glombik, Heiner; Frick, Wendelin
; Heuer, Hubert; Kramer, Werner
; Brummerhop, Harm; Plettenburg,

Oliver

PATENT ASSIGNEE(S):

Aventis Pharma Deutschland GmbH, Germany

SOURCE:

PCT Int. Appl., 84 pp.

DOCUMENT TYPE:

Patent

CODEN: PIXXD2

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

1

PAT	KIND		DATE		APPLICATION NO.					DATE							
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											, RU,						
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	R:															MC,	
									MK,	CY	, AL,	TR,	BG,	CZ,	EE,	HU, SK	
	1668				Α		2005									0030627	
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			43		A1 2004071									. 2	0030711		
	S 7·101856 B2 20060905									00			_	0041031			
	2004				A		2006							0041231			
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	2006		-		A1		2006	1228								0060814	
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WO 2003-EP6841 W 20030627

US 2003-616945 A1 20030711

OTHER SOURCE(S):

MARPAT 140:111628

GΙ

Title compds., e.g. (I), and their physiol.-acceptable salts, were prepared and evaluated for use in lowering blood sugar levels and for use as anti-diabetics. Thus, 2-acetyl-3-hydroxythiophene was reacted with tetra-O-acetyl- α -D-glucopyranosyl bromide and the resulting intermediate O-deprotected to give I. Compound (II) was prepared by similar methods. In in vitro tests measuring the uptake of 14C-labeled glucose using rabbit, rat, or pig intestinal brush-border membranes, II had IC25 0.9 μ M.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 8 OF 9 PASCAL COPYRIGHT 2007 INIST-CNRS. ALL RIGHTS RESERVED. on STN

ACCESSION NUMBER: 1991-0235691 PASCAL Full-text

TITLE (IN ENGLISH): Synthesis of bergenin-type C-glucosylarenes

AUTHOR: FRICK W.; SCHMIDT R. R.

3

CORPORATE SOURCE: Univ. Konstanz, Fak. Chemie, Konstanz 7750,

Germany, Federal Republic of

SOURCE: Carbohydrate research, (1991), 209, 101-107, 7

refs.

ISSN: 0008-6215 CODEN: CRBRAT

DOCUMENT TYPE: Journal
BIBLIOGRAPHIC LEVEL: Analytic
COUNTRY: Netherlands

LANGUAGE: English

AVAILABILITY: INIST-12339, 354000019311540080

1991-0235691 Full-text AN PASCAL

Synthese de 8,10-di-O-methylbergenine et de son derive 3,4,11-ABFR triacetate a partir de 1,2,3-trimethoxybenzene, de (2,3,4,6-tetra-0benzyl) glucopyranose et d'anhydride d'acide trifluoroacetique

ANSWER 9 OF 9 PASCAL COPYRIGHT 2007 INIST-CNRS, ALL RIGHTS L35

RESERVED. on STN

1984-0289514 PASCAL Full-text ACCESSION NUMBER:

Polycyclic compounds. XXIII: The TITLE (IN ENGLISH):

diastereoselective synthesis of a racemic furohexenopyranoside and its application to the 1,2-transposition of an acetal oxygen: a new

approach to isochromans

Composes polycycliques. XXIII: Synthese TITLE (IN FRENCH):

> diastereoselective d'un furohexenopyranoside racemique et application a la transposition 1,2

d'un oxygene acetal: un nouvel acces aux

isochromannes

Polycyclische Verbindungen. XXIII: Die TITLE (IN GERMAN):

> diastereoselektive Synthese eines racemischen Furohexenopyranosids und seine Verwendung zur 1,2-Transposition eines Acetalsauerstoffs -- ein

neuer Weg zu Isochromanen

GLOMBIK H.; TOCHTERMANN W. AUTHOR:

Univ. Kiel, inst. organische chemie, Kiel 2300, CORPORATE SOURCE:

Germany, Federal Republic of

Chemische Berichte, (1984), 117(7), 2422-2428, 20 SOURCE:

refs.

Journal

ISSN: 0009-2940

DOCUMENT TYPE:

Analytic BIBLIOGRAPHIC LEVEL:

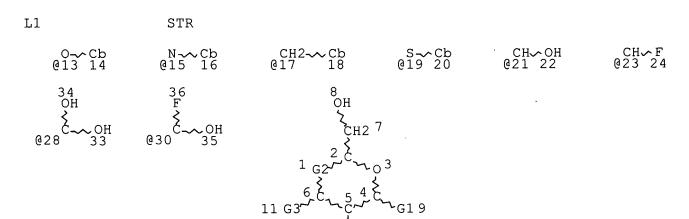
Germany, Federal Republic of COUNTRY:

German LANGUAGE:

English SUMMARY LANGUAGE: CNRS-4625 AVAILABILITY:

1984-0289514 PASCAL Full-text AN

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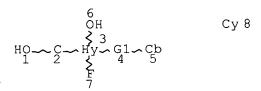
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STEREO ATTRIBUTES: NONE

L2 (12148) SEA FILE=REGISTRY SSS FUL L1 STR



VAR G1=O/N/S/C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 5
GGCAT IS PCY AT 8
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE L4 STR

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY UNS AT 5

GGCAT IS PCY AT 8

DEFAULT ECLEVEL IS LIMITED

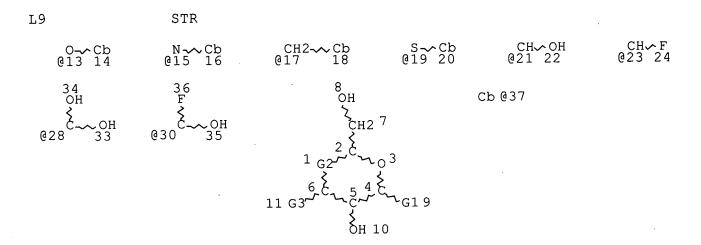
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RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

L5 3 SEA FILE=REGISTRY SUB=L2 SSS FUL (L3 OR L4)



VAR G1=13/15/17/19/37 VAR G2=CH2/CF2/21/23/28/30 VAR G3=OH/F NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM MLEVEL IS CLASS AT 14 16 18 20 37 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES ALL RING(S) ARE ISOLATED

L10 (788) SEA FILE=MARPAT SSS FUL L9 (MODIFIED ATTRIBUTES)
L11 STR

VAR G1=O/N/S/C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM .
MLEVEL IS CLASS AT 3 5 8
GGCAT IS MCY UNS AT 5
GGCAT IS PCY AT 8
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE L12 STR

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 3 5 8
GGCAT IS MCY UNS AT 5
GGCAT IS PCY AT 8
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
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STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME: ECLEVEL IS LIM ON ALL NODES ALL RING(S) ARE ISOLATED

L13 (37) SEA FILE=MARPAT SUB=L10 SSS FUL L11 (MODIFIED ATTRIBUTES)

ATTRIBUTES SPECIFIED AT SEARCH-TIME: ECLEVEL IS LIM ON ALL NODES ALL RING(S) ARE ISOLATED L14 (34) SEA FILE=MARPAT SUB=L10 SSS FUL L12 (MODIFIED ATTRIBUTES)
L15 46 SEA FILE=MARPAT ABB=ON PLU=ON L13 OR L14 FILE 'REGISTRY' ENTERED AT 14:44:49 ON 18 JUN 2007 ACT DAVIS5/A STR L1 L2 (12148) SEA SSS FUL L1 L3 STR L4STR 3 SEA SUB=L2 SSS FUL (L3 OR L4) L5 FILE 'REGISTRY' ENTERED AT 14:45:05 ON 18 JUN 2007 D QUE STAT FILE 'HCAPLUS' ENTERED AT 14:45:05 ON 18 JUN 2007 1 SEA ABB=ON PLU=ON L5 L6 D IBIB ABS HITSTR FILE 'CAOLD' ENTERED AT 14:45:19 ON 18 JUN 2007 L7 O SEA ABB=ON PLU=ON L5 FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 14:45:27 ON 18 JUN 2007 O SEA ABB=ON PLU=ON L5 18 FILE 'MARPAT' ENTERED AT 14:45:33 ON 18 JUN 2007 D SAV ACT DAVIS735MB/A _____ L9 STR 788) SEA SSS FUL L9 (MODIFIED ATTRIBUTES) L10 (L11 STR STR L12 37) SEA SUB=L10 SSS FUL L11 (MODIFIED ATTRIBUTES) L13 (34) SEA SUB=L10 SSS FUL L12 (MODIFIED ATTRIBUTES) L14 (46 SEA ABB=ON PLU=ON L13 OR L14 L15 D OUE STAT

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46 SEA ABB=ON PLU=ON L15

L17 45 SEA ABB=ON PLU=ON L16 NOT L6

FILE 'MARPAT' ENTERED AT 14:46:27 ON 18 JUN 2007

L18 45 SEA ABB=ON PLU=ON L17
D L18 1-45

FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, WPIX, JAPIO, DISSABS, PASCAL' ENTERED AT 14:48:18 ON 18 JUN 2007

L16

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		L24)									
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L28	45	SEA ABB=ON PLU=ON	L21 AND (L22 OR L23 OR L24)								
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D QUE L5

D QUE L15

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 JUN 2007 HIGHEST RN 937704-61-5 DICTIONARY FILE UPDATES: 17 JUN 2007 HIGHEST RN 937704-61-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

FILE HCAPLUS

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FILE COVERS 1907 - 18 Jun 2007 VOL 146 ISS 26 FILE LAST UPDATED: 17 Jun 2007 (20070617/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE CAOLD

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

FILE MEDLINE

FILE LAST UPDATED: 16 Jun 2007 (20070616/UP). FILE COVERS 1950 TO DA

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS

FILE COVERS 1926 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 13 June 2007 (20070613/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

FILE EMBASE

FILE COVERS 1974 TO 18 Jun 2007 (20070618/ED)

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE MARPAT

FILE CONTENT: 1961-PRESENT VOL 146 ISS 25 (20070615/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2007100186 03 MAY 2007
DE 102005052275 03 MAY 2007
EP 1784057 09 MAY 2007
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